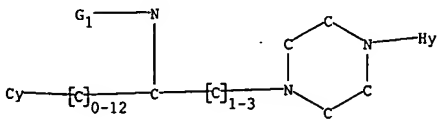
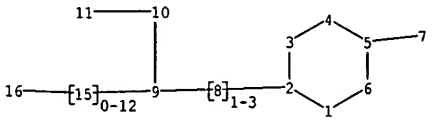
C:\Documents and Settings\EBernhardt\My Document





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7 8 9 10 11 15 16
ring nodes :
1 2 3 4 5 6
chain bonds :
2-8 5-7 8-9 9-10 9-15 10-11 15-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 2-3 2-8 3-4 4-5 5-6 5-7 9-10 10-11 15-16
exact bonds :
8-9 9-15
isolated ring systems :
containing 1 :

G1:O,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:CLASS 9:CLASS 10:CLASS
11:CLASS 15:CLASS 16:Atom
Generic attributes :
16:
Saturation : Unsaturated

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SAMPLE SCREEN SEARCH COMPLETED -      730 TO ITERATE

100.0% PROCESSED      730 ITERATIONS                                 12 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        12980 TO    16220
PROJECTED ANSWERS:              33 TO      447

L7           12 SEA SSS SAM L6

=> s l6 sss full
FULL SEARCH INITIATED 15:34:22 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    14240 TO ITERATE

100.0% PROCESSED    14240 ITERATIONS                               310 ANSWERS
SEARCH TIME: 00.00.01

L8          310 SEA SSS FUL L6

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ENTER NAME OR (END):ten773035/a
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FILE LAST UPDATED: 17 Mar 2006  (20060317/ED)

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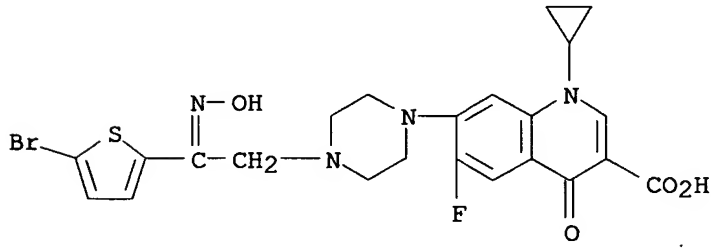
http://www.cas.org/infopolicy.html

=> s l8
L9 19 L8

=> d l9 1-19 bib abs fhitstr

L9 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:980850 CAPLUS
DN 143:440184
TI Synthesis and antibacterial activity of N-[2-(5-bromothiophen-2-yl)-2-oxoethyl] and N-[(2-5-bromothiophen-2-yl)-2-oximinoethyl] derivatives of piperazinyl quinolones
AU Foroumadi, Alireza; Emami, Saeed; Mehni, Massood; Moshafi, Mohammad Hassan; Shafiee, Abbas
CS Department of Medicinal Chemistry and Pharmaceutical Sciences Research Center, Tehran University of Medical Sciences, Tehran, 14174, Iran
SO Bioorganic & Medicinal Chemistry Letters (2005), 15(20), 4536-4539
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
AB A series of N-[2-[5-(bromo)-2-thienyl]-2-(oxo)ethyl] and N-[2-[5-(bromo)thienyl]-2-(oximino)ethyl] derivs. of piperazinyl quinolones (i.e., ciprofloxacin, norfloxacin, and enoxacin) n were synthesized and evaluated for antimicrobial activity against Gram-pos. and Gram-neg. microorganisms. Some of these derivs. exhibit comparable or better activity against Gram-pos. bacteria, Staphylococcus aureus, Staphylococcus epidermidis and Bacillus subtilis, than ciprofloxacin, norfloxacin and enoxacine as ref. drugs.
IT **868660-53-1P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of [(bromothienyl)(oxo)ethyl] and [(bromothienyl)(oximino)ethyl] derivs. of ciprofloxacin, norfloxacin, and enoxacin; study and SAR of their activity as antibacterial agents)
RN 868660-53-1 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[2-(5-bromo-2-thienyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



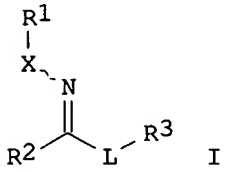
RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:735323 CAPLUS

DN 143:194028
TI Preparation of substituted piperazinyl oximes and hydrazones and related analogs as D4 receptor ligands useful in treating sexual dysfunction
IN Kolasa, Teodzyj; Patel, Meena; Mortell, Kathleen H.; Matulenko, Mark A.; Hakeem, Ahmed A.; Bhatia, Pramila A.; Wang, Xueqing; Daanen, Jerome F.; Latshaw, Steven P.; Stewart, Andrew O.
PA USA
SO U.S. Pat. Appl. Publ., 66 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2005176727	A1	20050811	US 2004-773035	20040205
PRAI US 2004-773035		20040205		

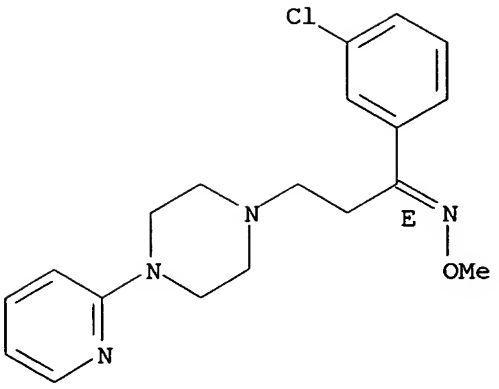
OS MARPAT 143:194028
GI



I

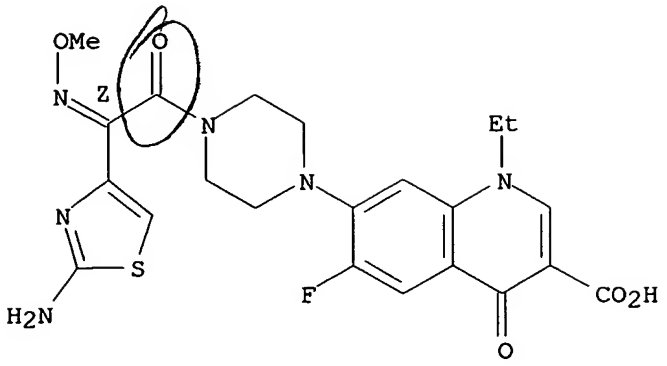
AB Title compds. I [X = O, (un)substituted amino; R1 = H, alkenyl, alkyl, alkoxyalkyl, etc.; R2 = aryl, arylalkyl, heteroaryl, etc.; R3 = piperidinyl, piperazinyl, etc.; L = alkylene, etc.] are prepd. For instance, (E)- and (Z)-1-(3-chlorophenyl)-3-(4-(pyridin-2-yl)piperazin-1-yl)propan-1-one O-methyloxime were prepd. in several steps from 3-chloroacetophenone, 1-(2-pyridinyl)piperazine, paraformaldehyde and O-methylhydroxylamine.bul.HCl. They were isolated and characterized as their maleate salts. Compds. of the invention exhibit EC50 in the range of 2 - 1800 nM for the D4 receptor. I are useful in the treatment of sexual dysfunction.
IT **861960-51-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted piperazinyl oximes and hydrazones and related analogs as D4 receptor ligands useful in treating sexual dysfunction)
RN 861960-51-2 CAPLUS
CN 1-Propanone, 1-(3-chlorophenyl)-3-[4-(2-pyridinyl)-1-piperazinyl]-, O-methyloxime, (1E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L9 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:6498 CAPLUS
DN 142:355237
TI Syntheses of novel fluoroquinolone compounds
AU Li, Jianyong; Lu, Runhua; Yang, Aimei; Zhang, Jiyu
CS Lanzhou Institute of Chemical Physics, Chinese Academy of Sciences, Lanzhou, 730050, Peop. Rep. China
SO Heterocyclic Communications (2004), 10(6), 447-450
CODEN: HCOMEX; ISSN: 0793-0283
PB Freund Publishing House Ltd.
DT Journal
LA English
OS CASREACT 142:355237
AB A series of 1-substituted-6-fluoro-7-(1-(4-((Z)-2-(2-aminothiazol-4-yl)-2-methoxyiminoacetyl)piperazinyl))-1,4-dihydro-4-oxo-3-quinoline carboxylic acid was prepd. and evaluated for antibacterial activity. These compds. were prepd. by the combination of 1-substituted- 6-fluoro-7-piperazinyl-1,4-dihydro-4-oxo-3-quinoline-carboxylic acid and S-2-benzo-thiazolyl-(Z)-2-(2-aminothiazol-4-yl)-2-methoxyimino acetate under the condition of Schotten-Baumann. The title compds. are confirmed with NMR,UV, IR, FAB-MS, et al.
IT **848861-61-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and antibacterial activity of fluoroquinolone compds. from piperazinyl fluorodihydroquinolinonecarboxylic acid and benzothiazolyl aminothiazolyl methoxyiminothioacetate)
RN 848861-61-0 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[(2Z)-(2-amino-4-thiazolyl)(methoxyimino)acetyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

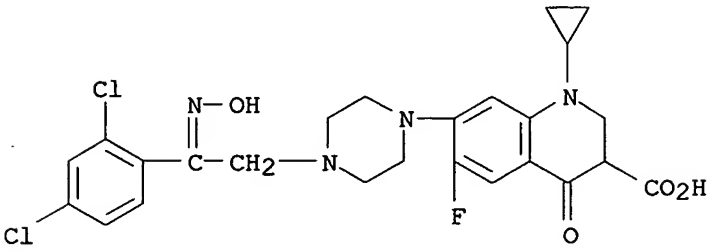
Double bond geometry as shown.



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

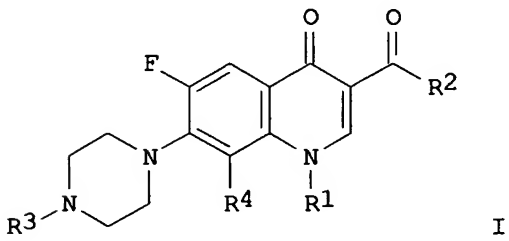
L9 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:83695 CAPLUS
DN 141:314131
TI Antituberculosis agents VII. Synthesis and in vitro evaluation of antimycobacterial activity and cytotoxicity of some N-piperazinyl quinolone derivatives
AU Foroumadi, A.; Soltani, F.; Asadipour, A.
CS Department of Medicinal Chemistry, Faculty of Pharmacy, Kerman University of Medical Sciences, Kerman, Iran
SO Bollettino Chimico Farmaceutico (2003), 142(3), 130-134
CODEN: BCFAAI; ISSN: 0006-6648
PB Societa Editoriale Farmaceutica
DT Journal
LA English
OS CASREACT 141:314131
AB A series of N-[2-(2,4-dichlorophenyl)-2-oxoethyl] and N-[2-aryl-2-benzyloxyimino ethyl]piperazinyl quinolones have been prepd. as part of a study to examine the relationship between structural modification at 7-position and activity against Mycobacterium tuberculosis. Primary screening was conducted at concn. of 6.25 .mu.g/mL against M tuberculosis H3-Rv using BACTEC 460 radiometric system and BACTEC 12B medium. The actual min. inhibitory concns. (MIC) were detd. for compds. demonstrating at least 90% inhibition in the primary screening. The results demonstrate that substitution of Ph ring with 4- fluoro, 2,4-difluoro and 2,4-dichloro groups resulted in variable inhibition percentage (Inh%=-7-101). Despite the significant antituberculosis activity of ciprofloxacin and norfloxacin derivs. contg. 2-(2,4-dichlorophenyl)-2-oxoethyl moiety (MIC=0.39&6.25 .mu.g/mL), compds. with 2-aryl-2-(hydroxyimino)ethyl, 2-aryl-2-(benzyloxyimino)ethyl and 2-aryl-2-(4-chlorobenzyloxyimino)ethyl did not show any activity (MIC>6.25 .mu.g/mL, Inh%=-7 to 75). Active compds. were also screened by serial diln. to assess toxicity to a VERO cell line. While the most active compd. was not sol. in tissue culture media, another product showed IC50=5.3 .mu.g/mL.
IT **769165-03-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and vitro evaluation of antimycobacterial activity and cytotoxicity of N-piperazinyl quinolone derivs.)
RN 769165-03-9 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-[4-[2-(2,4-dichlorophenyl)-2-

(hydroxyimino)ethyl]-1-piperazinyl]-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:661410 CAPLUS
DN 140:16634
TI Synthesis, and antimycobacterial and cytotoxic evaluation of certain fluoroquinolone derivatives
AU Sheu, Jia-Yuh; Chen, Yeh-Long; Tzeng, Cherng-Chyi; Hsu, Shu-Lin; Fang, Kuo-Chang; Wang, Tai-Chi
CS School of Medicinal and Applied Chemistry, College of Life Science, Kaohsiung Medical University, Kaohsiung City, Taiwan
SO Helvetica Chimica Acta (2003), 86(7), 2481-2489
CODEN: HCACAV; ISSN: 0018-019X
PB Verlag Helvetica Chimica Acta
DT Journal
LA English
OS CASREACT 140:16634
GI



I

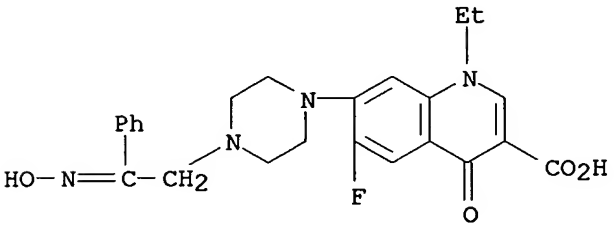
AB A series of 6-fluoro-7-piperazinyl-1,4-dihydroquinol-4-ones I (R1 = Et, 4-O2NC6H4, 4-H2NC6H4, 4-MeCONHC6H4, etc.; R2 = HO, EtO, H2N; R3 = H, Me, 4-MeOC6H4COCH2, etc.; R4 = H, F) was synthesized and evaluated for antimycobacterial and cytotoxic activities. Preliminary results indicated that 1-aryl-6-fluoroquinolones I [R1 = 4-amino-2-fluorophenyl; R2 = HO; R3 = H, Me; R4 = H; (II)] are able to completely inhibit the growth of M. tuberculosis at a concn. of 6.25 .mu.g/mL, while I (R1 = 4-amino-2-fluorophenyl; R2 = HO; R3 = 4-MeOC6H4COCH2; R4 = H) exhibits only 31% growth inhibition at the same concn. For 1-ethyl-6-fluoroquinolones, I [R1 = Et; R2 = HO; R3 = MeCOCH2, PhCOCH2; R4 = F; (III)] both showed complete inhibition, while their 2-iminoethyl and

substituted Ph counterparts were less active. These results deserve full attention esp. because II and III are non-cytotoxic at a concn. of 100 .mu.M. Furthermore, I (R1 = 4-amino-2-fluorophenyl; R2 = HO; R3 = R4 = H) proved to be a potent antituberculosis agent with selective index (SI) > 40 and an EC90 value of 5.75 .mu.g/mL.

IT **202925-30-2**
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); BIOL (Biological study)
(prepn. and antimycobacterial, antituberculosis, cytotoxic and anticancer evaluation of fluoro(piperazinyl)dihydroquinolones)

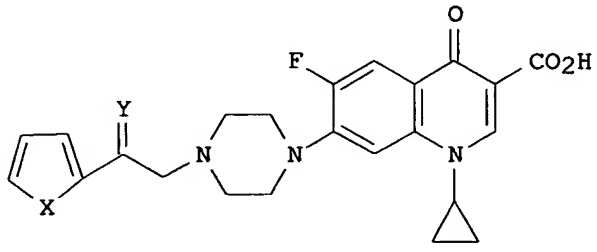
RN 202925-30-2 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:394974 CAPLUS
DN 139:347942
TI Antituberculosis agents IV: in vitro antimycobacterial activity and cytotoxicity of N-piperazinyl quinolone derivatives containing 2-thienyl and 2-furyl moiety
AU Foroumadi, A.; Soltani, F.; Mirzaei, M.
CS Medicinal Chemistry Department, Faculty of Pharmacy, Kerman University of Medical Sciences, Kerman, Iran
SO Pharmazie (2003), 58(5), 347-348
CODEN: PHARAT; ISSN: 0031-7144
PB Govi-Verlag Pharmazeutischer Verlag GmbH
DT Journal
LA English
GI



I X=Y=O
II X=S, Y=O
III X=S, Y=NOMe

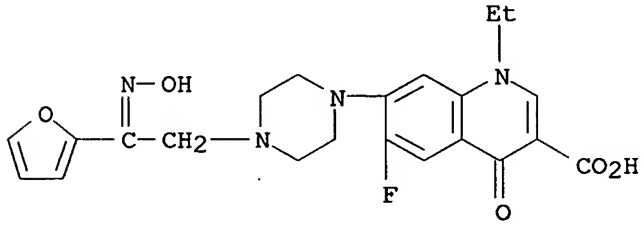
AB A series of N-[2-(2-furyl)-2-oxoethyl], N-[2-(2-furyl)-2-oxyiminoethyl],

N-[2-oxo-2-(2-thienyl)ethyl] and N-[2-oxyimino-2-(2-thienyl)ethyl] piperazinyl quinolones were evaluated for antituberculosis activity against Mycobacterium tuberculosis H37Rb using the BACTEC 460 radiometric system and BACTEC 12B medium. Compds. I, II, and III were efficient antimycobacterial agents, showing MIC values ranging from 0.78 to 6.25 .mu.g/mL. In general, ciprofloxacin derivs. were more active than norfloxacin derivs. and the oxime analogs were less active than corresponding ketones. I, II, and III were also screened by serial diln. to assess toxicity to VERO cell line. The cytotoxicity of tested compds. indicated that I was the less toxic compd. (IC50 > 62.5 .mu.g/mL). This compd. was tested for efficacy in vitro in TB-infected macrophage model (EC90 = 3.25 .mu.g/mL).

IT **255916-15-5**
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(in vitro antimycobacterial activity and cytotoxicity of piperazinyl quinolone derivs. contg. thienyl and furyl moieties)

RN 255916-15-5 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(2-furanyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

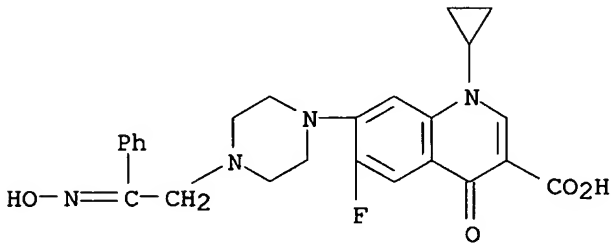
L9 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:703049 CAPLUS
DN 137:382145
TI Antituberculosis agents III. In vitro evaluation of antimycobacterial activity and cytotoxicity of some N-piperazinyl quinolone derivatives
AU Foroumadi, A.; Soltani, F.; Emami, S.; Davood, A.
CS Department of Medicinal Chemistry, Faculty of Pharmacy, Kerman University of Medical Sciences, Kerman, Iran
SO Bollettino Chimico Farmaceutico (2002), 141(3), 247-249
CODEN: BCFAAI; ISSN: 0006-6648
PB Societa Editoriale Farmaceutica
DT Journal
LA English
AB A series of N-[2-oxo-2-(4-substituted phenyl)ethyl]piperazinyl quinolones(1a-e,2a-e and 3a-e) and N-[2-hydroxyimino-2-(4-substituted phenyl)ethyl]piperazinyl quinolones(1f-j,2f-j and 3d-f) were evaluated for antituberculosis activity against Mycobacterium tuberculosis H33R, using the BACTEC 460 radiometric system and BACTEC 12B medium. Active compds. were also screened by serial diln. to assess toxicity to a VERO cell line. 9 Compds. were efficient antimycobacterial agents showing MIC values ranging from 0.78 to 6.25 .mu.g/mL. Generally, ciprofloxacin derivs. were more active than norfloxacin and enoxacin derivs. and the oxime analogs

were less active than corresponding ketones. The most selective and less toxic compd. 1a was tested for efficacy in vitro in TB-infected macrophage model (EC90 = 3.68 .mu.g/mL, EC99 = 9.18 .mu.g/mL).

IT **202925-35-7**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(in vitro antimycobacterial activity and cytotoxicity of N-piperazinyl quinolone derivs.)

RN 202925-35-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)

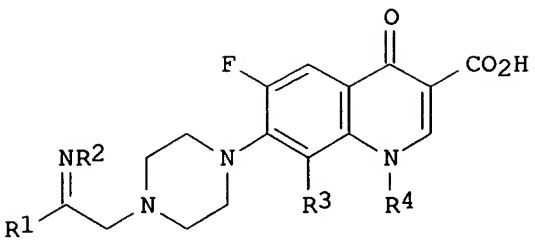


RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:392235 CAPLUS
DN 136:401781
TI Preparation of 6-fluoro-1,4-dihydro-7-[4-(2-hydroxyiminoethyl)-1-piperazinyl]-4-oxoquinoline-3-carboxylic acid derivatives as antibacterial and anticancer agents
IN Tzeng, Cherng-Chyi; Chen, Yeh-Long; Ko, Feng-Nien
PA Pharmaceutical Industry Technology, Taiwan
SO U.S. Pat. Appl. Publ., 9 pp., Division of U.S. Ser. No. 489,058, abandoned.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002061895	A1	20020523	US 2001-2733	20011115
	US 6492373	B2	20021210		
	TW 584632	B	20040421	TW 2000-89100389	20000112
PRAI	TW 2000-89100389	A	20000112		
	US 2000-489058	B3	20000121		

OS MARPAT 136:401781
GI



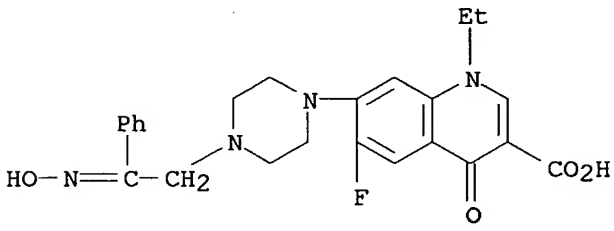
I

AB The title compds. [I; R1 = alkyl, (un)substituted Ph; R2 = OH, alkoxy, NH2, alkyl, CH2Ph; R3 = H, halo; R4 = alkyl, (un)substituted Ph], useful for the treatment of bacterial infections and/or renal cancer diseases, were prepd. Thus, treatment of 1-ethyl-6-fluoro-1,4-dihydro-4-oxo-7-[4-(2-oxopropyl)-1-piperazinyl]quinoline-3-carboxylic acid with hydrazine in MeOH afforded 83% I [R1 = Me; R2 = NH2; R3 = H; R4 = Et]. The compds. I were tested for antibacterial and anticancer activity, and data were given.

IT **202925-30-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 6-fluoro-1,4-dihydro-7-[4-(2-hydroxyiminoethyl)-1-piperazinyl]-4-oxoquinoline-3-carboxylic acid derivs. as antibacterial and anticancer agents)

RN 202925-30-2 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



L9 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:217203 CAPLUS

DN 137:352876

TI Synthesis and antibacterial activity of some novel N-substituted piperazinyl-quinolones

AU Foroumadi, A.; Davood, A.; Mirzaei, M.; Emami, S.; Moshafi, M. H.

CS Department of Medicinal chemistry, Faculty of Pharmacy, Kerman University of Medical Sciences, Kerman, Iran

SO Bollettino Chimico Farmaceutico (2001), 140(6), 411-416
CODEN: BCFAAI; ISSN: 0006-6648

PB Societa Editoriale Farmaceutica

DT Journal

LA English

OS CASREACT 137:352876

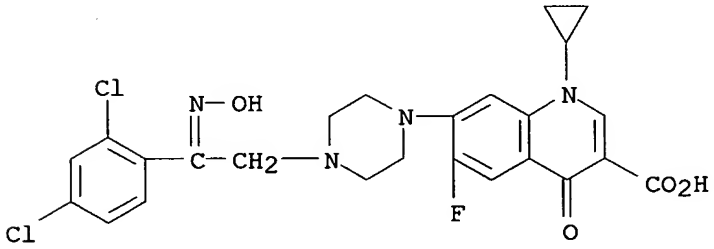
AB A series of N-substituted-piperazinyl-quinolones were synthesized and

evaluated for in vitro antibacterial activity. Compds. with a 2-(2,4-dichlorophenyl)-2-oxoethyl group attached to the piperazine ring had similar antibacterial activity to the ref. drugs, ciprofloxacin, norfloxacin and enoxacin against both Gram-pos. and Gram-neg. bacteria. Some of the oximes derivs. were almost less active than corresponding ketones against the tested microorganisms, however the 2,4-difluorophenyl analogs were more active than 2,4-dichlorophenyl derivs. If the hydrogen of oxime is replaced with a benzyl group, in-vitro antibacterial activity was decreased against both Gram-pos. and Gram-neg. bacteria. Generally ciprofloxacin derivs. were more active than norfloxacin and enoxacin derivs.

IT **474973-77-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antibacterial activity of N-substituted piperazinyl-quinolones)

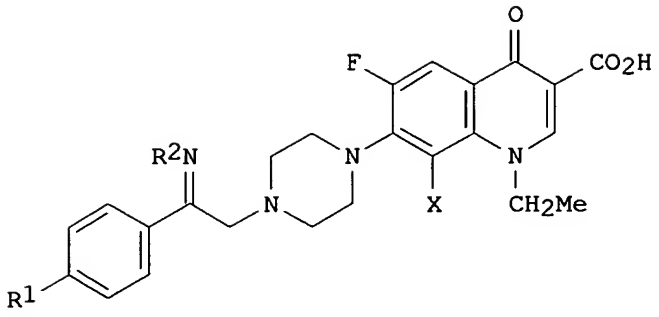
RN 474973-77-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-[4-[2-(2,4-dichlorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

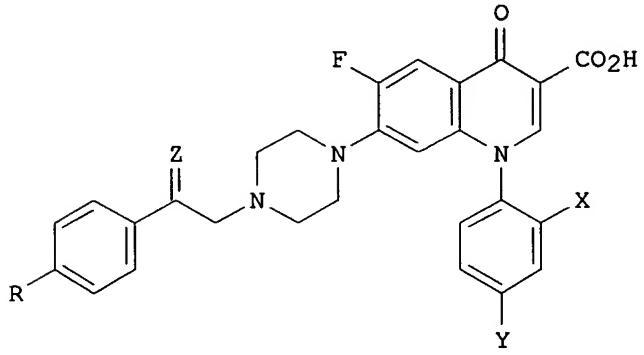


RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:412636 CAPLUS
DN 135:166770
TI Synthesis and antibacterial evaluation of certain quinolone derivatives
AU Chen, Yeh-Long; Fang, Kuo-Chang; Sheu, Jia-Yuh; Hsu, Shu-Lin; Tzeng, Cherng-Chyi
CS School of Chemistry, Kaohsiung Medical University, Kaohsiung City, 807, Taiwan
SO Journal of Medicinal Chemistry (2001), 44(14), 2374-2377
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 135:166770
GI



I



II

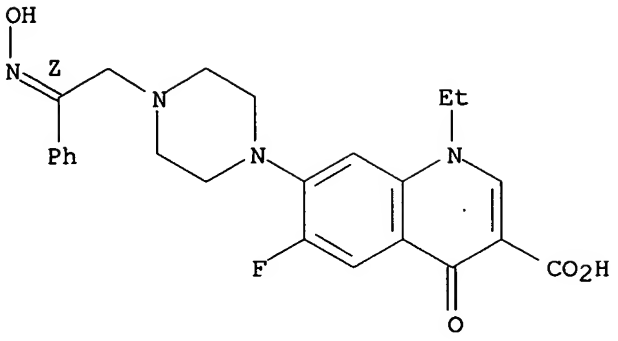
AB A no. of 7-substituted quinolone derivs., e.g., I (R1 = H, F, Cl, MeO, R2 = OH, NHCONH2, NHCSNH2, NH2, X = F, H) and II (R = F, MeO, X = H, F, Y = NO2, NH2, Z = O, NOH), were synthesized and evaluated for antibacterial and cytotoxic activities. Preliminary results indicated that most compds. tested in this study demonstrated better activity against methicillin-resistant Staphylococcus aureus than norfloxacin. Among them, 1-(4-amino-2-fluorophenyl)-6-fluoro-1,4-dihydro-7-{4-[2-(4-methoxyphenyl)-2-hydroxyiminoethyl]-1-piperazinyl}-4-oxo-3-quinolinecarboxylic acid II (R = MeO, Z = F, Y = NH2, Z = NOH) (III) and its ketone precursor II (X = O) (IV) exhibited significant activities against Klebsiella pneumoniae, methicillin-resistant S. aureus, erythromycin- and ampicillin-resistant Streptococcus pneumoniae, and vancomycin-resistant Enterococcus faecalis. Due to strong cytotoxicities of III (a mean log GI50 of -5.40), compd. IV, with good antibacterial activities and low cytotoxicities (a mean log GI50 of -4.67), is a more potential drug candidate.

IT **307543-36-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(prepn., cytotoxicity, antibacterial, and antitumor activity of piperazinyl quinolones)

RN 307543-36-8 CAPLUS

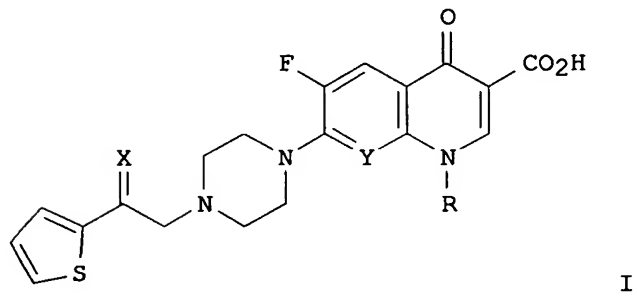
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[(2Z)-2-(hydroxyimino)-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:665188 CAPLUS
DN 133:309831
TI Synthesis and in-vitro antibacterial activity of N-piperazinyl quinolone derivatives with a 2-thienyl group
AU Mirzaei, M.; Foroumadi, A.
CS The Research Centre of Kerman University of Medical Sciences, Kerman, Iran
SO Pharmacy and Pharmacology Communications (2000), 6(8), 351-354
CODEN: PPCOFN; ISSN: 1460-8081
PB Royal Pharmaceutical Society of Great Britain
DT Journal
LA English
OS CASREACT 133:309831
GI



I

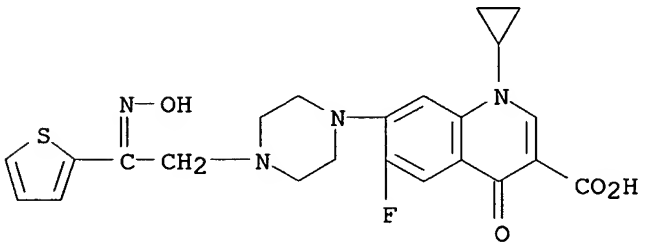
AB N-substituted piperazinylquinolones I (X = O, HON, MeON, PhCH2ON; Y = HC, N; R = Et, cyclopropyl) were prepd. by the reaction of piperazinylquinolones with .alpha.-bromo-2-acetylthiophene or .alpha.-bromo-2-acetylthiophene oximes and evaluated for in-vitro antibacterial activity. Ketones I (X = O) had antibacterial activity against Gram-pos. and Gram-neg. bacteria similar to that of ref. drugs, ciprofloxacin, norfloxacin and enoxacin. Oximes I (X = HON) were almost as potent as the corresponding ketones against staphylococci but less active against Gram-neg. bacteria. Replacement of the hydrogen of the oxime with a Me group resulted in greater antibacterial activity against both Gram-pos. and Gram-neg. bacteria, with min. inhibitory concns. (MIC)

ranging from 0.0075 to 0.5 .mu.g mL-1; this potency was greater than that of the ref. compds. Replacement of the hydrogen of the oxime with PhCH2 group reduced antibacterial activity against Gram-pos. and Gram-neg. bacteria.

IT **301827-25-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(bactericidal activity of [(thienyloxoethyl)piperazinyl]quinolones and their oximes prepd. via alkylation of piperazinylquinolones with (bromoacetyl)thiophene)

RN 301827-25-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-(2-thienyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

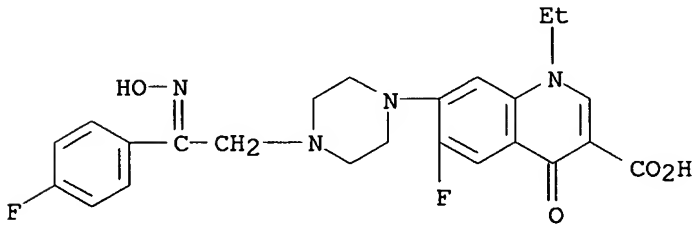
L9 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:646381 CAPLUS
DN 133:362748
TI Synthesis, Antibacterial, and Cytotoxic Evaluation of Certain 7-Substituted Norfloxacin Derivatives
AU Fang, Kuo-Chang; Chen, Yeh-Long; Sheu, Jia-Yuh; Wang, Tai-Chi; Tzeng, Cherng-Chyi
CS School of Chemistry, Kaohsiung Medical University, Kaohsiung City, 807, Taiwan
SO Journal of Medicinal Chemistry (2000), 43(20), 3809-3812
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 133:362748
AB The synthesis and biol. evaluation of two series of 7-substituted norfloxacin derivs. were reported. Most compds. tested in this study demonstrated better activity against methicillin-resistant Staphylococcus aureus than norfloxacin. Preliminary in vitro evaluation indicated that 7-[4-(2-hydroxyiminoethyl)-1-piperazinyl] derivs. possess distinct cytotoxicity profiles as compared with their .alpha.-methylene-.gamma.-butyrolactone counterparts, i.e., excellent activities against the renal cancer subpanel. Among them, 1-ethyl-6-fluoro-7-[4-[2-(4-chlorophenyl)-2-hydroxyiminoethyl]-1-piperazinyl]-4-oxo-1,4-dihydro-3-quinolinecarboxylic acid demonstrated the most significant activities against renal cancer cell lines, with log GI50 values of -6.40 against CAK-1, -6.14 against RXF 393, and -7.54 against UO-31, compared with a mean log GI50 value of

-5.03.

IT **202925-32-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antibacterial and cytotoxic activity of 7-substituted norfloxacin derivs.)

RN 202925-32-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1999:763349 CAPLUS

DN 132:107933

TI Synthesis and in vitro antibacterial activity of new N-substituted piperazinyl quinolones

AU Foroumadi, A.; Emami, S.; Haghighat, P.; Moshafi, M. H.

CS The Research Center of Kerman University of Medical Sciences, Kerman, Iran

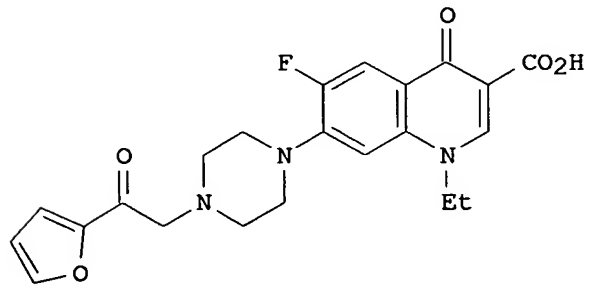
SO Pharmacy and Pharmacology Communications (1999), 5(10), 591-594
CODEN: PPCOFN; ISSN: 1460-8081

PB Royal Pharmaceutical Society of Great Britain

DT Journal

LA English

GI



I

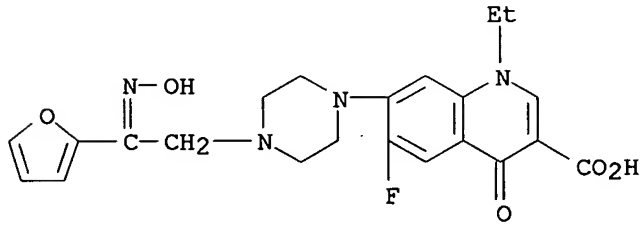
AB A series of N-[2-(2-furyl)-2-oxoethyl], N-[2-hydroxyimino-2-(2-furyl)ethyl], N-[2-(2-furyl)-2-methoxyiminoethyl] and N-[2-(2-furyl)-2-phenylmethoxyiminoethyl] piperazinyl quinolones were synthesized and evaluated for in-vitro antibacterial activity. Compds. with a

2-(2-furyl)-2-oxoethyl group attached to the piperazine ring, e.g. I, had similar antibacterial activity to the ref. drugs, norfloxacin and ciprofloxacin, against staphylococci, but significantly decreased activity against Gram-neg. bacteria. If the hydrogen of oxime was replaced with a Me or benzyl group, in-vitro antibacterial activity decreased against Gram-neg. bacteria, but activity was similar against staphylococci. Generally, ciprofloxacin derivs. were more active than norfloxacin derivs.

IT **255916-15-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antibacterial activity of N-substituted piperazinyl quinolones)

RN 255916-15-5 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(2-furanyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

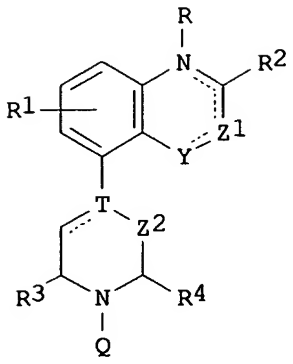


RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:176950 CAPLUS
DN 130:223299
TI Preparation of 5-piperazinotetrahydroquinolines and analogs as 5-HT1 receptor agonists
IN Feenstra, R. W.; Visser, G. M.; Kruse, C. G.; Tulp, M. T. M.; Long, S. K.
PA Duphar International Research B.V, Neth.
SO Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 900792	A1	19990310	EP 1998-202832	19980824
	EP 900792	B1	20031029		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	AT 253058	E	20031115	AT 1998-202832	19980824
	CA 2246126	AA	19990302	CA 1998-2246126	19980828
	JP 11147871	A2	19990602	JP 1998-259105	19980831
	US 6214829	B1	20010410	US 1998-144076	19980831
PRAI	EP 1997-202704	A	19970902		

OS MARPAT 130:223299
GI



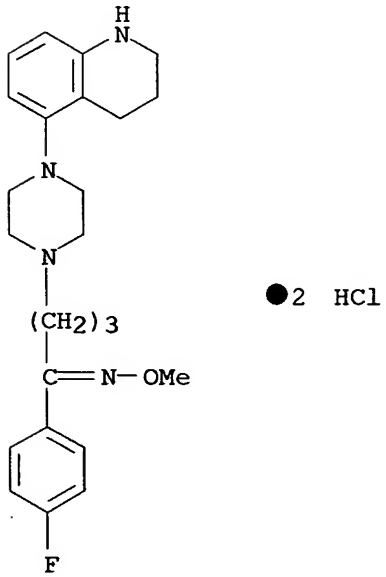
I

AB Title compds. [I; Q = CH2CR5R6ZR7; R,R3,R4 = H or alkyl; R1 = H or F; R2 = H, alkyl, oxo (sic); RR2 = bond; R5,R6 = H, alkyl, alkylphenyl; R7 = cyclic group (sic), (hetero)aryl, adamantyl, etc.; T = N or C (sic); Y = C, O, N, or S (sic); Z = CH2O, CH2CO, NHCO, etc.; Z1 = (CR'')p; R'' = H or alkyl; Z2 = (CH2)n; n = 1 or 2; p = 0-2; dashed lines = optional bond(s)] were prepd. Thus, 5-(1-piperazinyl)-1,2,3,4-tetrahydroquinoline was alkylated by Cl(CH2)3COC6H4F-4 to give I [Q = (CH2)3COC6H4F-4; R-R4 = H, T = N, Y = Z1 = Z2 = CH2, dashed lines = null]. Data for biol. activity of I were given.

IT **221193-69-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 5-piperazinotetrahydroquinolines and analogs as 5-HT1 receptor agonists)

RN 221193-69-7 CAPLUS

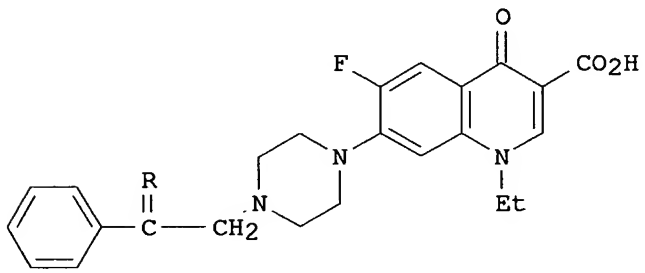
CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(1,2,3,4-tetrahydro-5-quinolinyl)-1-piperazinyl]-, O-methyloxime, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:34171 CAPLUS
DN 128:164860
TI Synthesis and in vitro antibacterial activities of N-substituted piperazinyl quinolones
AU Foroumadi, A.; Emami, S.; Davood, A.; Moshafi, M. H.; Sharifian, A.; Tabatabaie, M.; Farimani, H. Tarhimi; Sepehri, G.; Shafiee, A.
CS The Research Center of The Medical Sciences University of Kerman, Kerman, Iran
SO Pharmaceutical Sciences (1997), 3(12), 559-563
CODEN: PHSCFB; ISSN: 1356-6881
PB Royal Pharmaceutical Society of Great Britain
DT Journal
LA English
GI



I R=O
II R=NOH
III R=NOCH$_2$ 

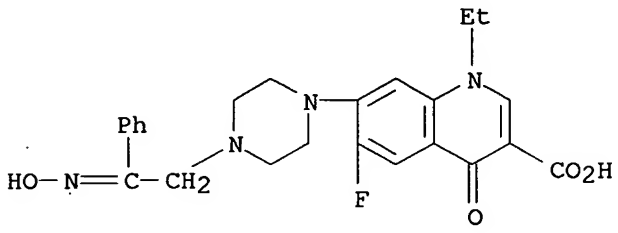
AB A series of N-substituted piperazinyl quinolones (e.g. I, II, and III) were prepd. and evaluated for in-vitro antibacterial activity. Compds. having phenacyl group attached to the piperazine ring were as potent as norfloxacin, ciprofloxacin and enoxacin. The oximes were almost as potent as the corresponding ketones against staphylococci but less active against Gram-neg. bacteria. Some oximes were found to be more active than norfloxacin and enoxacin against Gram-pos. organisms. In general, the O-benzyloxime derivs. had lower antibacterial activity than ref. compds. However, compds. having a 4-nitro group in the benzyl moiety of O-benzyloxime derivs. had antistaphylococcal activity greater than norfloxacin, ciprofloxacin and enoxacin. Generally, ciprofloxacin derivs. were more active than norfloxacin or enoxacin derivs.

IT **202925-30-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and in vitro antibacterial activities of N-substituted piperazinyl quinolones)

RN 202925-30-2 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1995:842602 CAPLUS

DN 123:256767

TI Preparation of 4-[4-(2-Thiophenyl)-4-(hydroxyimino)butyl]piperazine derivatives as .alpha.-1-adrenergic receptor blockers

IN Kawashima, Yutaka; Ota, Tomomi; Taguchi, Minoru; Horiguchi, Akiyo; Hatayama, Katsuo

PA Taisho Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 19 pp.
CODEN: PIXXD2

DT Patent

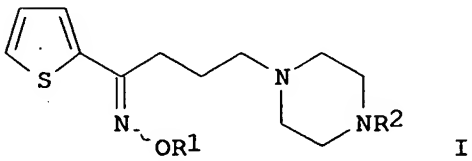
LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9519357	A1	19950720	WO 1995-JP17	19950111
	W: AU, CA, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	JP 07242656	A2	19950919	JP 1994-315122	19941219
	AU 9514245	A1	19950801	AU 1995-14245	19950111
PRAI	JP 1994-1701	A	19940112		
	WO 1995-JP17	W	19950111		

OS MARPAT 123:256767

GI



I

AB The title compds. [I; R1, R2 = H, alkyl; R2 = (un)substituted Ph or 2-pyridyl], which have an excellent effect of ameliorating urination disorder by blocking .alpha.-1-adrenergic receptors and show reduced side

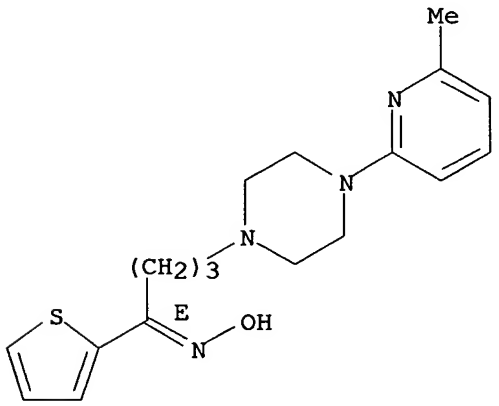
effects such as hypotensive effect, are prepd. Thus, 1.5 g 2-(4-chlorobutyryl)thiophene and 1.82 g N-2,3-dimethylphenylpiperazine were dissolved in 30 mL toluene, followed by adding 3.32 mL Et3N, and the resulting mixt. was refluxed for 15 h to give, after silica gel chromatog., 1.14 g 4-[4-(2,3-dimethylphenyl)piperazinyl]-1-(2-thienyl)-1-butanone, which (1.13 g) was condensed with hydroxylamine hydrochloride in the presence of AcONa in refluxing EtOH to give, after silica gel chromatog., 440 and 240 mg of geometric isomers of oxime I (R1 = H, R2 = 2,3-dimethylphenyl) having lower and higher polarity, resp. A geometric isomer of oxime I.HCl (R1 = Me, R2 = 2-methoxyphenyl) having higher polarity in vitro showed IC50 value of 2.7 nM for inhibiting the binding of [3H]prazosin to .alpha.-1-adrenergic receptor prepn. from a rat brain vs. 741 nM for urapidil.

IT **168689-02-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-[thiophenyl(hydroxyimino)butyl]piperazine derivs. as .alpha.-1-adrenergic receptor blockers for treatment of urination disorder)

RN 168689-02-9 CAPLUS

CN 1-Butanone, 4-[4-(6-methyl-2-pyridinyl)-1-piperazinyl]-1-(2-thienyl)-, oxime, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L9 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1993:6946 CAPLUS

DN 118:6946

TI Synthesis and biological characterization of .alpha.-(4-fluorophenyl)-4-(5-fluoro-2-pyrimidinyl)-1-piperazinebutanol and analogs as potential atypical antipsychotic agents

AU Yevich, Joseph P.; New, James S.; Lobeck, Walter G.; Dextraze, Pierre; Bernstein, Edith; Taylor, Duncan P.; Yocca, Frank D.; Eison, Michael S.; Temple, Davis L., Jr.

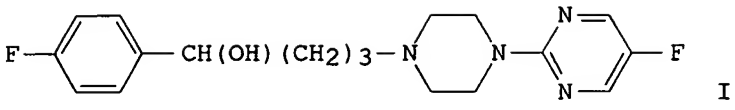
CS Pharm. Res. Inst., Bristol-Myers Squibb Co., Wallingford, CT, 06492, USA

SO Journal of Medicinal Chemistry (1992), 35(24), 4516-25
CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

GI



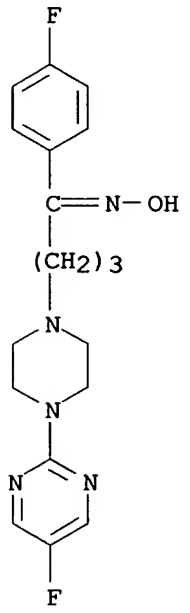
I

AB A series of 1-(pyrimidin-2-yl)piperazine derivs. was prepd. and evaluated in receptor binding assays and in in vivo behavioral paradigms as potential atypical antipsychotic agents. The title compd.(I) [DMS 181100 (formerly MBY 14802)] emerged as the lead compd. from within the series on the basis of its good activity and duration of action in the inhibition of both conditioned avoidance responding and apomorphine-induced stereotopy in the rat. Compd. I not only failed to induce catalepsy in the rat but was quite effective in reversing the cataleptic effect of neuroleptic agents, thus indicating a low propensity for causing extrapyramidal side effects. In comparison to ref. antipsychotic agents, I appeared to be less sedating and was relatively weaker in causing loss of muscle coordination. The compd. was essentially inactive in binding to dopamine D2 receptors and its chronic administration to rats did not result in dopamine receptor supersensitivity. It exhibited modest to weak affinity for 5-HT1a and a1 receptors but was found to be a fairly potent ligand for .sigma. binding sites. Although the resolved enantiomers of racemic I did not show dramatic differences from racemate or from each other in most tests, the R-(+) enantiomer was up to 11-fold more potent than its antipode in binding to .sigma. sites. Several studies have indicated that I may be a limbic-selective agent which may modulate dopaminergic activity by an indirect mechanism. The compd. has been selected for clin. evaluation in the treatment of psychosis.

IT **144317-95-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(prepn., hydrogenation, and antipsychotic activity of)

RN 144317-95-3 CAPLUS

CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(5-fluoro-2-pyrimidinyl)-1-piperazinyl]-, oxime (9CI) (CA INDEX NAME)



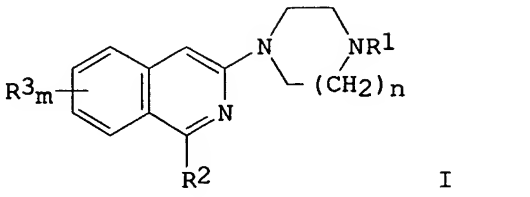
L9 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1982:423646 CAPLUS
DN 97:23646
TI Isoquinoline derivatives, pharmaceutical compositions containing them and their use
IN Knoz, Elmar; Hock, Franz; Kaiser, Joachim; Kruse, Hansjoerg
PA Hoechst A.-G. , Fed. Rep. Ger.
SO Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 47923	A1	19820324	EP 1981-106884	19810903
	EP 47923	B1	19840509		
	R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	DE 3034001	A1	19820422	DE 1980-3034001	19800910
	AT 7389	E	19840515	AT 1981-106884	19810903
	ES 505191	A1	19820816	ES 1981-505191	19810904
	JP 57080372	A2	19820519	JP 1981-139850	19810907
	FI 8102783	A	19820311	FI 1981-2783	19810908
	FI 71734	B	19861031		
	FI 71734	C	19870209		
	IL 63765	A1	19850531	IL 1981-63765	19810908
	DK 8104006	A	19820311	DK 1981-4006	19810909
	NO 8103066	A	19820311	NO 1981-3066	19810909
	AU 8175091	A1	19820318	AU 1981-75091	19810909
	AU 541976	B2	19850131		
	ZA 8106237	A	19820825	ZA 1981-6237	19810909
	HU 31176	O	19840428	HU 1981-2595	19810909
	HU 187357	B	19851228		
	CA 1168232	A1	19840529	CA 1981-385547	19810909

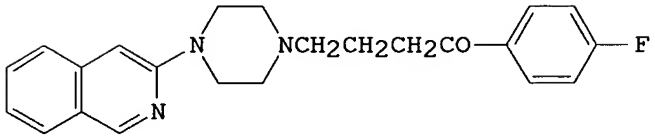
	ES 506584	A1	19830301	ES 1981-506584	19811027
	ES 506583	A1	19830401	ES 1981-506583	19811027
	US 4590273	A	19860520	US 1984-594366	19840328
PRAI	DE 1980-3034001	A	19800910		
	EP 1981-106884	A	19810903		
	US 1981-300434	A2	19810908		

OS CASREACT 97:23646; MARPAT 97:23646

GI



I



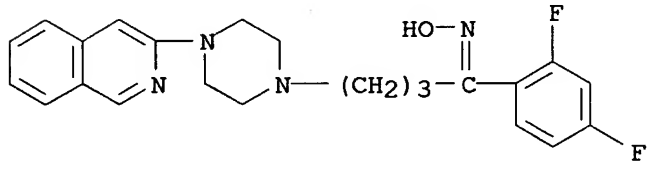
II

AB I [R1 = H, C1-6 alkyl, C1-4 alkoxy, C3-6 cycloalkyl, thienyl, furyl, pyridyl, aryl, (CH2)pCOR (R = aryl, furyl, thienyl, pyridyl; p = 0-4), etc.; R2 = H, C1-6 alkyl; R3 = H, halo, C1-6 alkyl, alkoxy, etc.; m, n = 1, 2] were prepd. as antiarrhythmics, antihypertensives, and neuroleptics (no data). Thus, 3-chloroisoquinline and pyrazine gave 3-pyrazinoisoquinoline, which with .omega.-chloro-4-florobutyrophenone ethylene ketal (followed by deprotection) gave II.

IT **82117-77-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydride redn. of)

RN 82117-77-9 CAPLUS

CN 1-Butanone, 1-(2,4-difluorophenyl)-4-[4-(3-isoquinolinyl)-1-piperazinyl]-, oxime (9CI) (CA INDEX NAME)



L9 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1974:536181 CAPLUS

DN 81:136181

TI Pharmaceutical 1-(4-fluorophenyl)-4-(1-piperazinyl)-1-butanone oximes

IN Buzas, Andre; Bruneau, Jacques

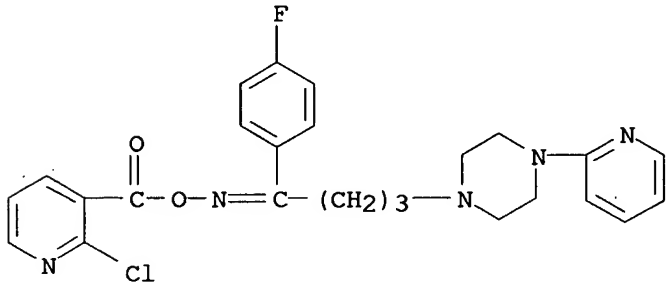
PA Laboratoires Bruneau et Cie.

SO Ger. Offen., 16 pp. Addn. to Ger. Offen. 2,257,639 (CA 79;92278d).

CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 2319016	A1	19740808	DE 1973-2319016	19730414
FR 2215953	A2	19740830	FR 1973-3925	19730205
GB 1384523	A	19750219	GB 1973-19306	19730424
PRAI FR 1973-3925	A	19730205		

GI For diagram(s), see printed CA Issue.
AB Eight piperazines I [R = CH2CH:CH2, COC6H2(OMe)3-3,4,5,-2-chloro-3-[R = CH2CH:CH2, COC6H2(OMe)3-3,4,5,-2-chloro-3-pyridylcarbonyl, (CH2)2NEt2, or 2-morpholinoethyl; R1 = 2-pyridyl or 2-pyrimidyl] were prepd. by reaction of I (R = H) with RCl. I had analgesic, antiinflammatory, and spasmolytic activity and potentiated the barbiturate anesthesia in mice. The LD50 was tested in mice on oral administration.
IT **54042-48-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and pharmacol. activity of)
RN 54042-48-7 CAPLUS
CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(2-pyridinyl)-1-piperazinyl]-, O-[(2-chloro-3-pyridinyl)carbonyl]oxime, monohydrochloride (9CI) (CA INDEX NAME)



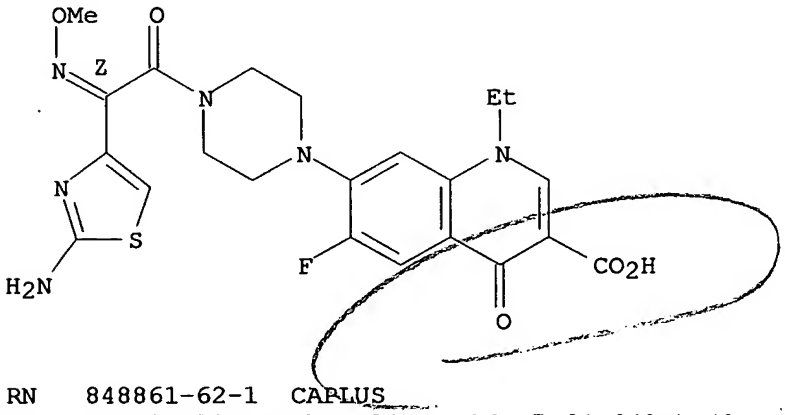
● HCl

=> d l9 3-10,12,14,15,17-19 bib abs hitstr

L9 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:6498 CAPLUS
DN 142:355237
TI Syntheses of novel fluoroquinolone compounds
AU Li, Jianyong; Lu, Runhua; Yang, Aimei; Zhang, Jiyu
CS Lanzhou Institute of Chemical Physics, Chinese Academy of Sciences, Lanzhou, 730050, Peop. Rep. China
SO Heterocyclic Communications (2004), 10(6), 447-450
CODEN: HCOMEX; ISSN: 0793-0283
PB Freund Publishing House Ltd.

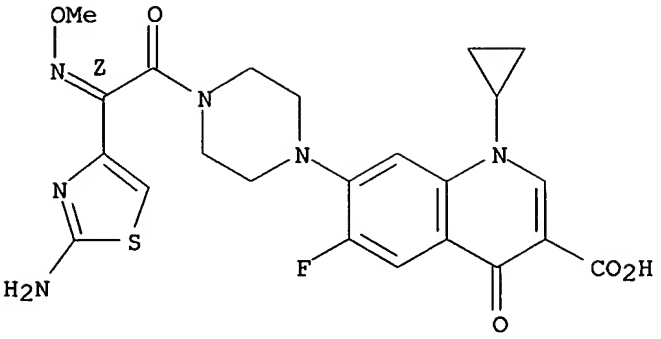
DT Journal
LA English
OS CASREACT 142:355237
AB A series of 1-substituted-6-fluoro-7-(1-(4-((Z)-2-(2-aminothiazol-4-yl)-2-methoxyiminoacetyl)piperazinyl))-1,4-dihydro-4-oxo-3-quinoline carboxylic acid was prepd. and evaluated for antibacterial activity. These compds. were prepd. by the combination of 1-substituted- 6-fluoro-7-piperazinyl-1,4-dihydro-4-oxo-3-quinoline-carboxylic acid and S-2-benzo-thiazolyl-(Z)-2-(2-aminothiazol-4-yl)-2-methoxyimino acetate under the condition of Schotten-Baumann. The title compds. are confirmed with NMR,UV, IR, FAB-MS, et al.
IT **848861-61-0P 848861-62-1P 848861-64-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and antibacterial activity of fluoroquinolone compds. from piperazinyl fluorodihydroquinolinonecarboxylic acid and benzothiazolyl aminothiazolyl methoxyiminothioacetate)
RN 848861-61-0 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[(2Z)-(2-amino-4-thiazolyl)(methoxyimino)acetyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



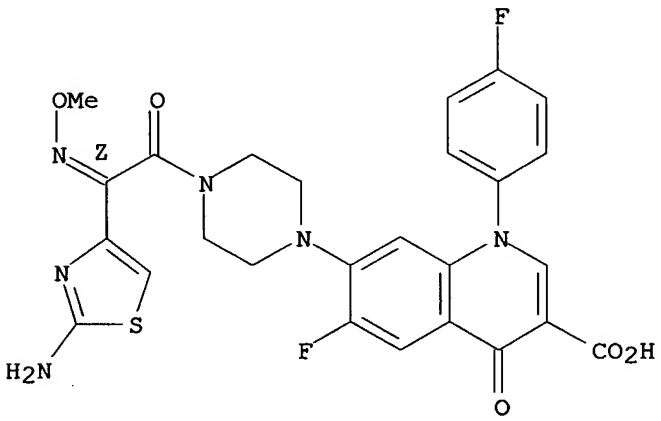
RN 848861-62-1 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[(2Z)-(2-amino-4-thiazolyl)(methoxyimino)acetyl]-1-piperazinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 848861-64-3 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[(2Z)-(2-amino-4-thiazolyl)(methoxyimino)acetyl]-1-piperazinyl]-6-fluoro-1-(4-fluorophenyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

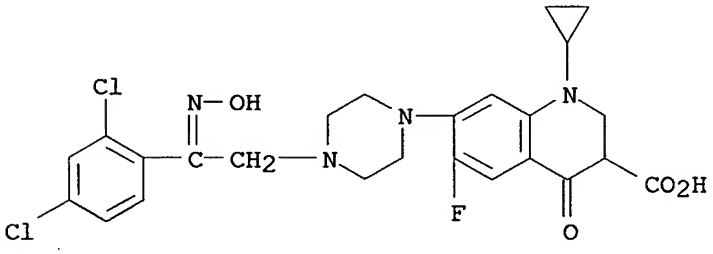
L9 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:83695 CAPLUS
DN 141:314131
TI Antituberculosis agents VII. Synthesis and in vitro evaluation of antimycobacterial activity and cytotoxicity of some N-piperazinyl quinolone derivatives
AU Foroumadi, A.; Soltani, F.; Asadipour, A.
CS Department of Medicinal Chemistry, Faculty of Pharmacy, Kerman University of Medical Sciences, Kerman, Iran
SO Bollettino Chimico Farmaceutico (2003), 142(3), 130-134
CODEN: BCFAAI; ISSN: 0006-6648
PB Societa Editoriale Farmaceutica
DT Journal
LA English
OS CASREACT 141:314131
AB A series of N-[2-(2,4-dichlorophenyl)-2-oxoethyl] and N-[2-aryl-2-

benzyloxyimino ethyl]piperazinyl quinolones have been prepd. as part of a study to examine the relationship between structural modification at 7-position and activity against Mycobacterium tuberculosis. Primary screening was conducted at concn. of 6.25 .mu.g/mL against M tuberculosis H3-Rv using BACTEC 460 radiometric system and BACTEC 12B medium. The actual min. inhibitory concns. (MIC) were detd. for compds. demonstrating at least 90% inhibition in the primary screening. The results demonstrate that substitution of Ph ring with 4- fluoro, 2,4-difluoro and 2,4-dichloro groups resulted in variable inhibition percentage (Inh%=-7-101). Despite the significant antituberculosis activity of ciprofloxacin and norfloxacin derivs. contg. 2-(2,4-dichlorophenyl)-2-oxoethyl moiety (MIC=0.39&6.25 .mu.g/mL), compds. with 2-aryl-2-(hydroxyimino)ethyl, 2-aryl-2-(benzyloxyimino)ethyl and 2-aryl-2-(4-chlorobenzyloxyimino)ethyl did not show any activity (MIC>6.25 .mu.g/mL, Inh%=-7 to 75). Active compds. were also screened by serial diln. to assess toxicity to a VERO cell line. While the most active compd. was not sol. in tissue culture media, another product showed IC50=5.3 .mu.g/mL.

IT **769165-03-9P 769165-05-1P 769165-07-3P**
769165-09-5P 769165-11-9P 769165-12-0P
769165-13-1P 769165-14-2P 769165-15-3P
769165-16-4P 769165-17-5P 769165-18-6P
769165-20-0P 769165-22-2P 769165-24-4P
769165-25-5P 769165-26-6P 769165-27-7P
769165-28-8P 769165-29-9P 769165-31-3P
769165-32-4P 769165-33-5P 769165-35-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and vitro evaluation of antimycobacterial activity and cytotoxicity of N-piperazinyl quinolone derivs.)

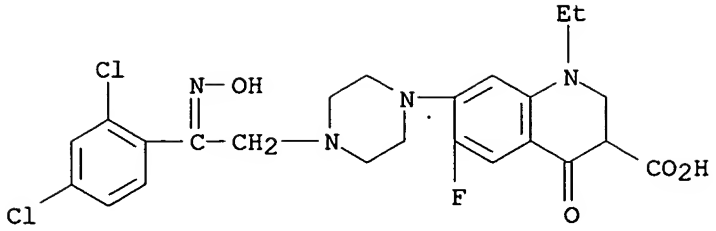
RN 769165-03-9 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-[4-[2-(2,4-dichlorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)

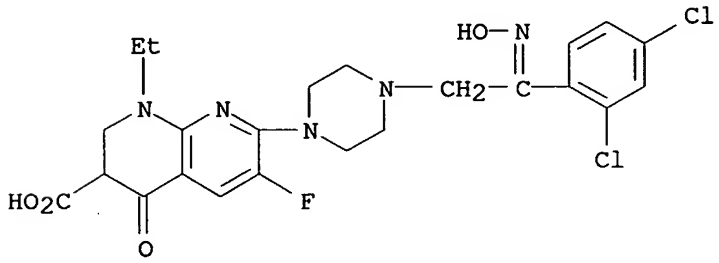


RN 769165-05-1 CAPLUS

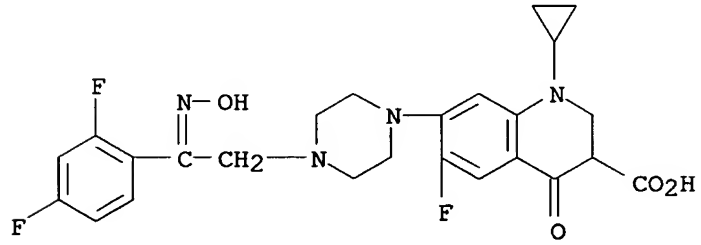
CN 3-Quinolinecarboxylic acid, 7-[4-[2-(2,4-dichlorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



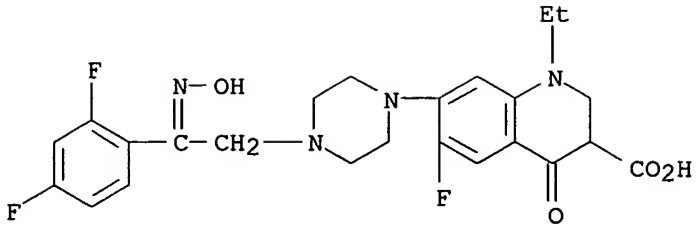
RN 769165-07-3 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[4-[2-(2,4-dichlorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



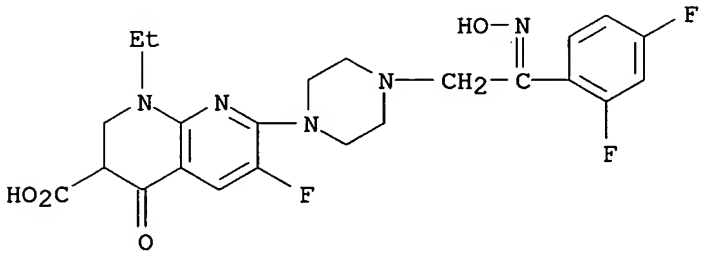
RN 769165-09-5 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-[4-[2-(2,4-difluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



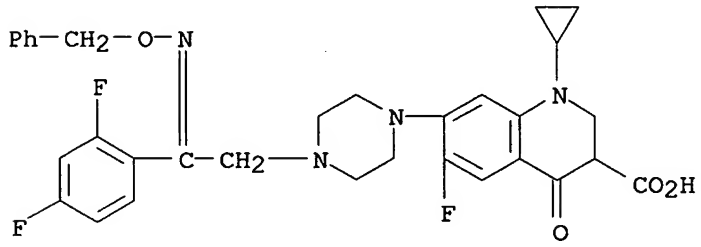
RN 769165-11-9 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[2-(2,4-difluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



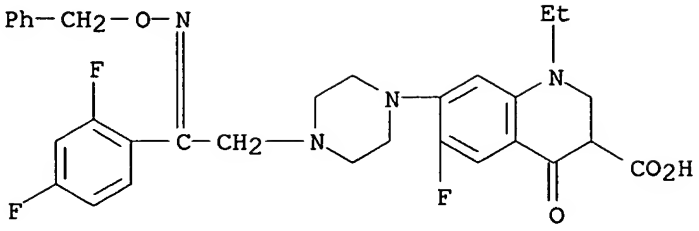
RN 769165-12-0 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[4-[2-(2,4-difluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



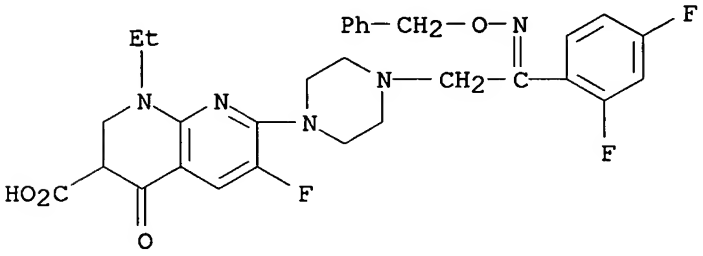
RN 769165-13-1 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-[4-[2-(2,4-difluorophenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



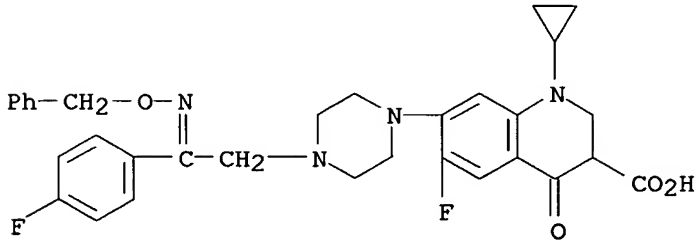
RN 769165-14-2 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[2-(2,4-difluorophenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



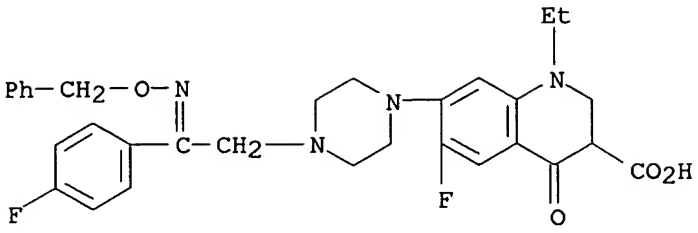
RN 769165-15-3 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[4-[2-(2,4-difluorophenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



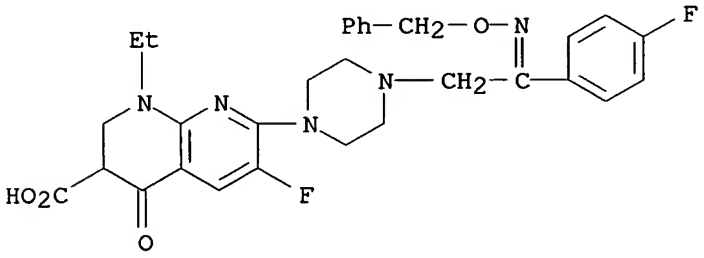
RN 769165-16-4 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



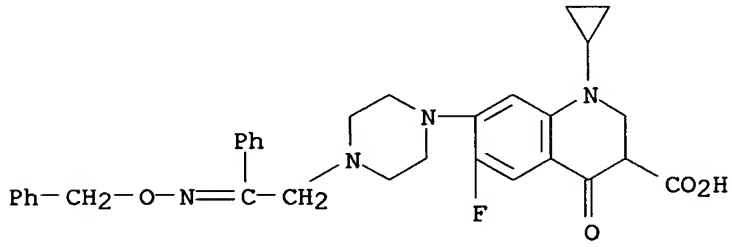
RN 769165-17-5 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



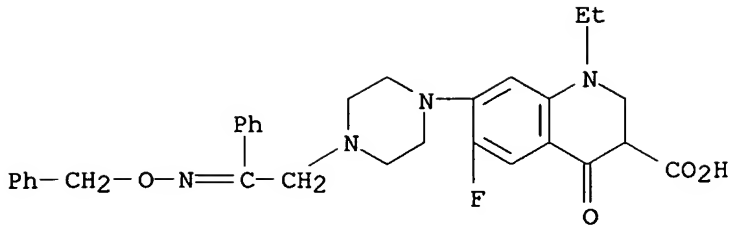
RN 769165-18-6 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



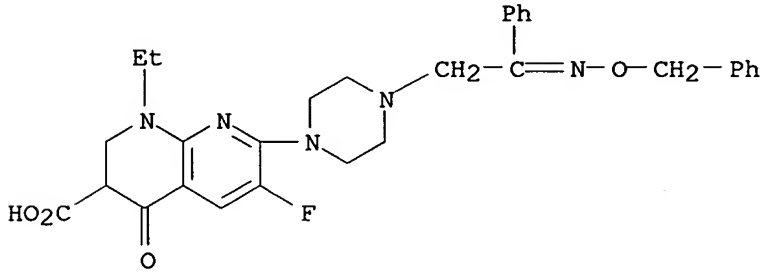
RN 769165-20-0 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo-7-[4-[2-phenyl-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



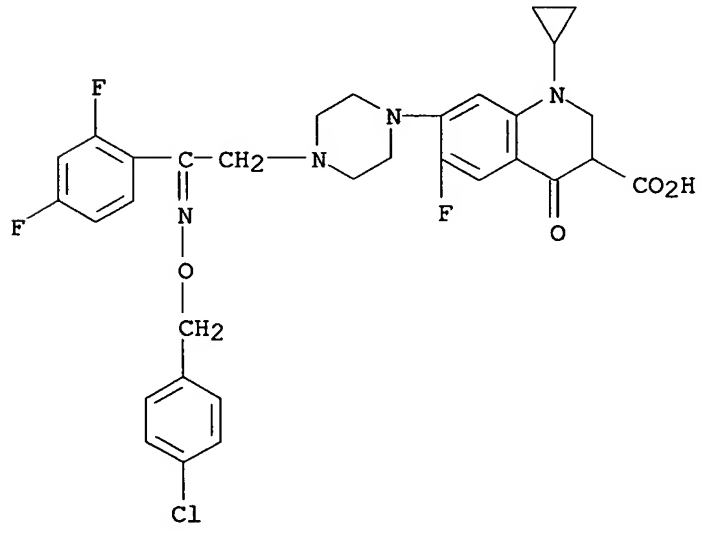
RN 769165-22-2 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo-7-[4-[2-phenyl-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 769165-24-4 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 1-ethyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo-7-[4-[2-phenyl-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

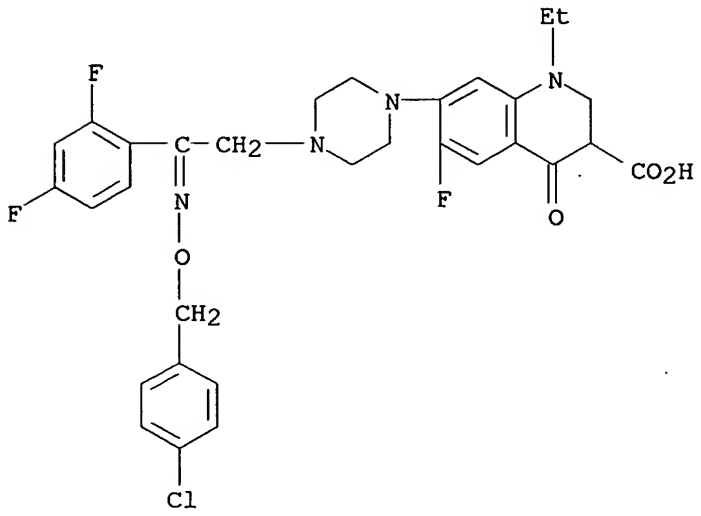


RN 769165-25-5 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[2-[[(4-chlorophenyl)methoxy]imino]-2-(2,4-difluorophenyl)ethyl]-1-piperazinyl]-1-cyclopropyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)

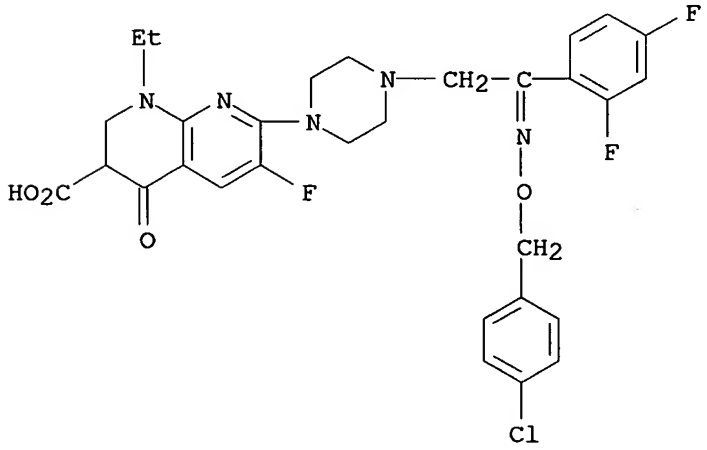


RN 769165-26-6 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[2-[[(4-chlorophenyl)methoxy]imino]-2-

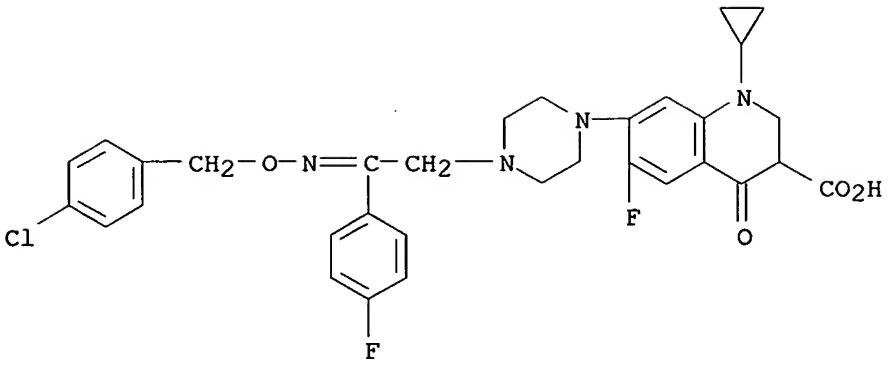
(2,4-difluorophenyl)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



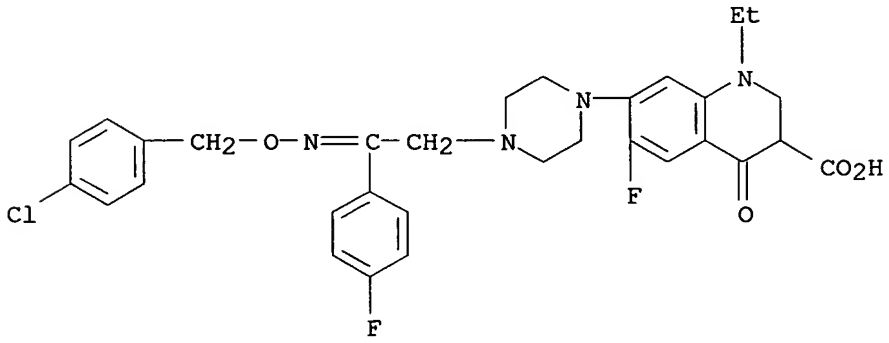
RN 769165-27-7 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[4-[2-[[(4-chlorophenyl)methoxy]imino]-2-(2,4-difluorophenyl)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



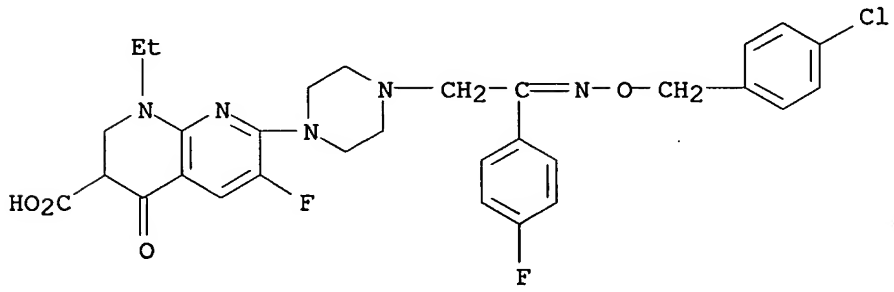
RN 769165-28-8 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[2-[[(4-chlorophenyl)methoxy]imino]-2-(4-fluorophenyl)ethyl]-1-piperazinyl]-1-cyclopropyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



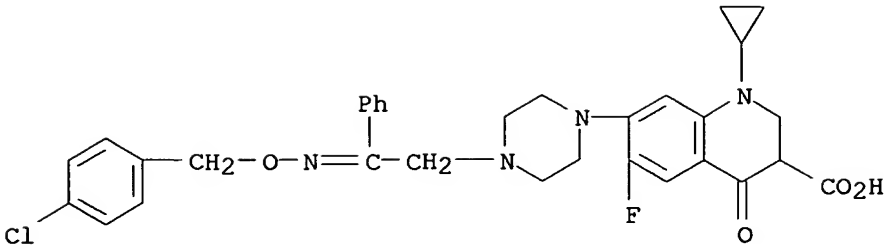
RN 769165-29-9 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[2-[[(4-chlorophenyl)methoxy]imino]-2-(4-fluorophenyl)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



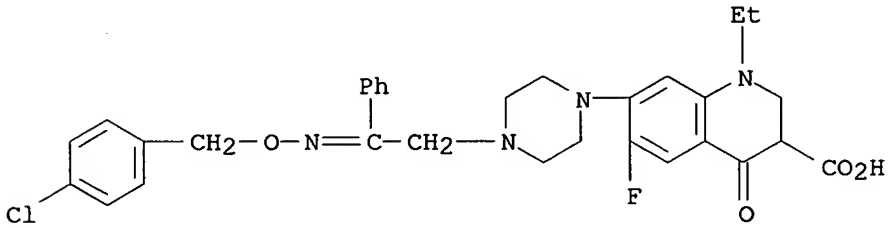
RN 769165-31-3 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[4-[2-[[(4-chlorophenyl)methoxy]imino]-2-(4-fluorophenyl)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



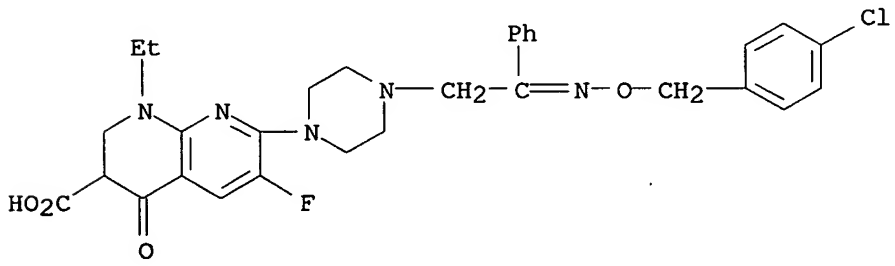
RN 769165-32-4 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[2-[[(4-chlorophenyl)methoxy]imino]-2-phenylethyl]-1-piperazinyl]-1-cyclopropyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



RN 769165-33-5 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[2-[[(4-chlorophenyl)methoxy]imino]-2-phenylethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



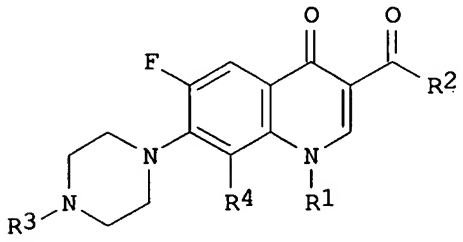
RN 769165-35-7 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[4-[2-[[(4-chlorophenyl)methoxy]imino]-2-phenylethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,2,3,4-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:661410 CAPLUS
DN 140:16634
TI Synthesis, and antimycobacterial and cytotoxic evaluation of certain fluoroquinolone derivatives
AU Sheu, Jia-Yuh; Chen, Yeh-Long; Tzeng, Cherng-Chyi; Hsu, Shu-Lin; Fang, Kuo-Chang; Wang, Tai-Chi
CS School of Medicinal and Applied Chemistry, College of Life Science, Kaohsiung Medical University, Kaohsiung City, Taiwan

SO Helvetica Chimica Acta (2003), 86(7), 2481-2489
CODEN: HCACAV; ISSN: 0018-019X
PB Verlag Helvetica Chimica Acta
DT Journal
LA English
OS CASREACT 140:16634
GI



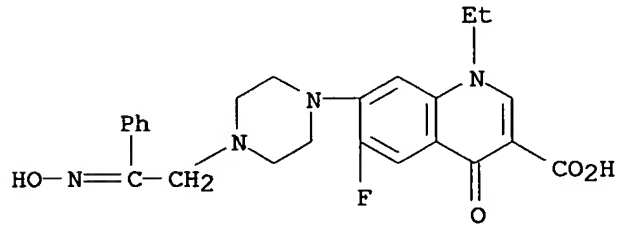
I

AB A series of 6-fluoro-7-piperazinyl-1,4-dihydroquinol-4-ones I (R1 = Et, 4-O2NC6H4, 4-H2NC6H4, 4-MeCONHC6H4, etc.; R2 = HO, EtO, H2N; R3 = H, Me, 4-MeOC6H4COCH2, etc.; R4 = H, F) was synthesized and evaluated for antimycobacterial and cytotoxic activities. Preliminary results indicated that 1-aryl-6-fluoroquinolones I [R1 = 4-amino-2-fluorophenyl; R2 = HO; R3 = H, Me; R4 = H; (II)] are able to completely inhibit the growth of M. tuberculosis at a concn. of 6.25 .mu.g/mL, while I (R1 = 4-amino-2-fluorophenyl; R2 = HO; R3 = 4-MeOC6H4COCH2; R4 = H) exhibits only 31% growth inhibition at the same concn. For 1-ethyl-6-fluoroquinolones, I [R1 = Et; R2 = HO; R3 = MeCOCH2, PhCOCH2; R4 = F; (III)] both showed complete inhibition, while their 2-iminoethyl and substituted Ph counterparts were less active. These results deserve full attention esp. because II and III are non-cytotoxic at a concn. of 100 .mu.M. Furthermore, I (R1 = 4-amino-2-fluorophenyl; R2 = HO; R3 = R4 = H) proved to be a potent antituberculosis agent with selective index (SI) > 40 and an EC90 value of 5.75 .mu.g/mL.

IT **202925-30-2**
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); BIOL (Biological study)
(prepn. and antimycobacterial, antituberculosis, cytotoxic and anticancer evaluation of fluoro(piperazinyl)dihydroquinolones)

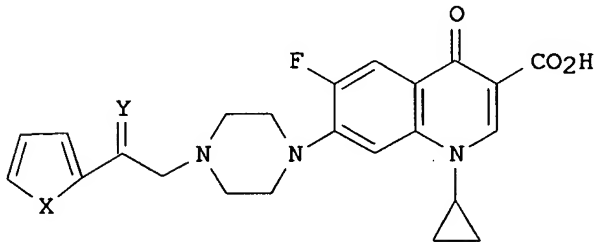
RN 202925-30-2 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:394974 CAPLUS
DN 139:347942
TI Antituberculosis agents IV: in vitro antimycobacterial activity and cytotoxicity of N-piperazinyl quinolone derivatives containing 2-thienyl and 2-furyl moiety
AU Foroumadi, A.; Soltani, F.; Mirzaei, M.
CS Medicinal Chemistry Department, Faculty of Pharmacy, Kerman University of Medical Sciences, Kerman, Iran
SO Pharmazie (2003), 58(5), 347-348
CODEN: PHARAT; ISSN: 0031-7144
PB Govi-Verlag Pharmazeutischer Verlag GmbH
DT Journal
LA English
GI

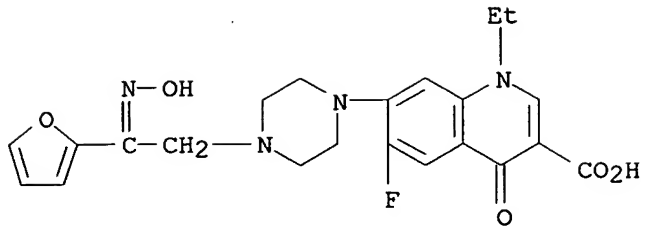


I X=Y=O
II X=S, Y=O
III X=S, Y=NOMe

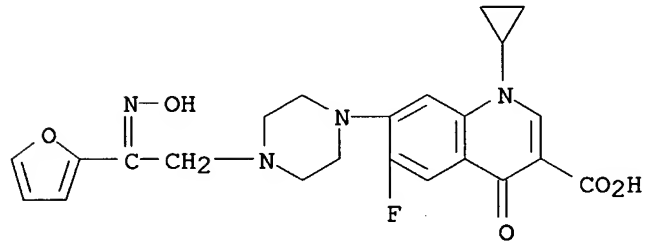
AB A series of N-[2-(2-furyl)-2-oxoethyl], N-[2-(2-furyl)-2-oxyiminoethyl], N-[2-oxo-2-(2-thienyl)ethyl] and N-[2-oxyimino-2-(2-thienyl)ethyl] piperazinyl quinolones were evaluated for antituberculosis activity against Mycobacterium tuberculosis H37Rb using the BACTEC 460 radiometric system and BACTEC 12B medium. Compds. I, II, and III were efficient antimycobacterial agents, showing MIC values ranging from 0.78 to 6.25 .mu.g/mL. In general, ciprofloxacin derivs. were more active than norfloxacin derivs. and the oxime analogs were less active than corresponding ketones. I, II, and III were also screened by serial diln. to assess toxicity to VERO cell line. The cytotoxicity of tested compds. indicated that I was the less toxic compd. (IC50 > 62.5 .mu.g/mL). This compd. was tested for efficacy in vitro in TB-infected macrophage model (EC90 = 3.25 .mu.g/mL).

IT **255916-15-5 255916-16-6 255916-17-7**
255916-18-8 255916-19-9 255916-20-2
301827-25-8 301827-26-9 301827-28-1
301827-29-2 301827-31-6 301827-32-7
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(in vitro antimycobacterial activity and cytotoxicity of piperazinyl quinolone derivs. contg. thienyl and furyl moieties)

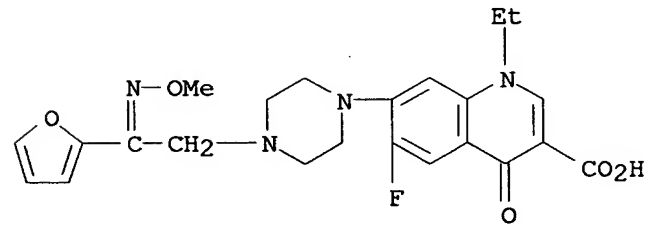
RN 255916-15-5 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(2-furanyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



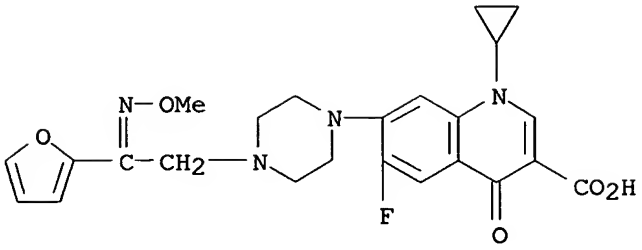
RN 255916-16-6 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-7-[4-[2-(2-furanyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



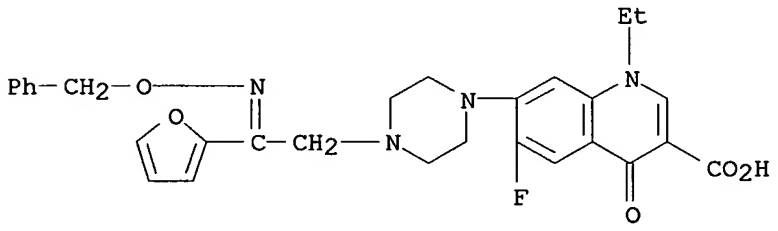
RN 255916-17-7 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(2-furanyl)-2-(methoxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



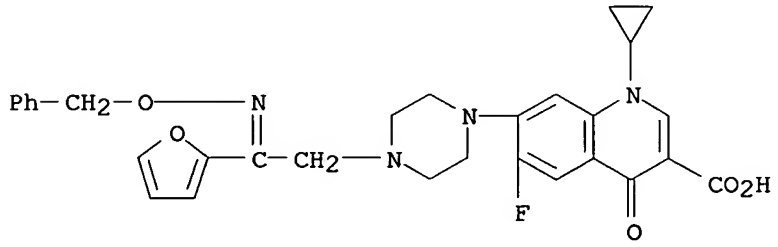
RN 255916-18-8 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-7-[4-[2-(2-furanyl)-2-(methoxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



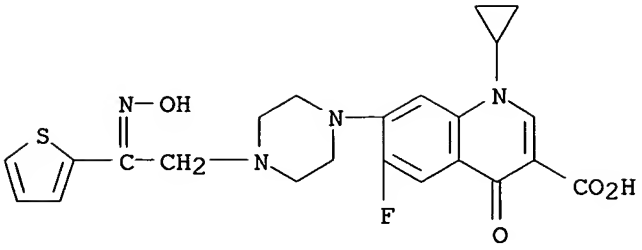
RN 255916-19-9 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(2-furanyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



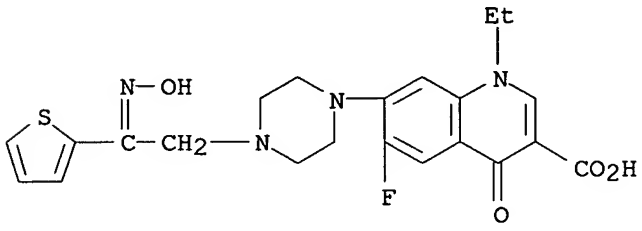
RN 255916-20-2 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-7-[4-[2-(2-furanyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



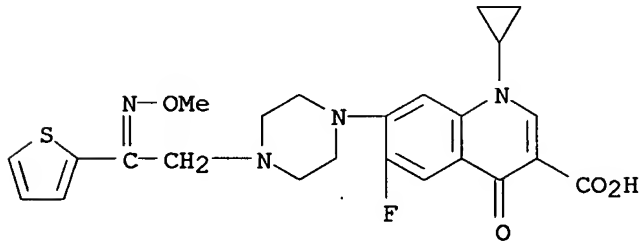
RN 301827-25-8 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-(2-thienyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



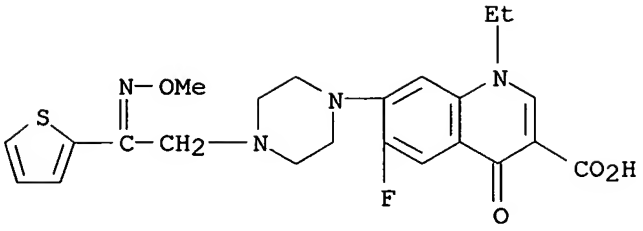
RN 301827-26-9 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-(2-thienyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



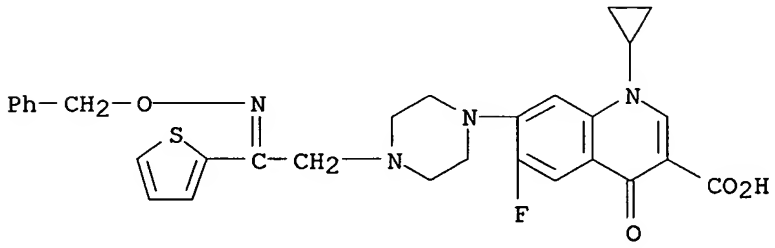
RN 301827-28-1 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7-[4-[2-(methoxyimino)-2-(2-thienyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



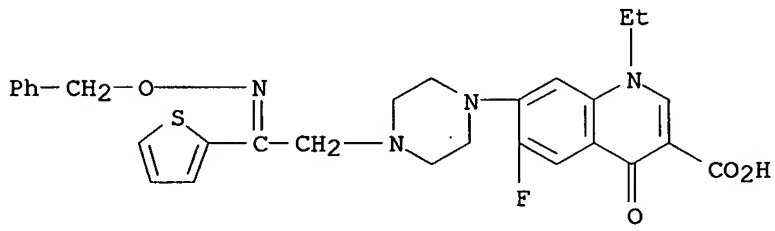
RN 301827-29-2 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-(methoxyimino)-2-(2-thienyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



RN 301827-31-6 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-7-[4-[2-[(phenylmethoxy)imino]-2-(2-thienyl)ethyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



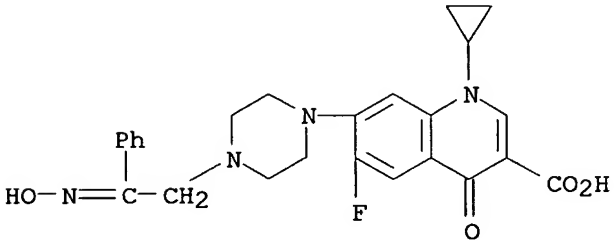
RN 301827-32-7 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-4-oxo-7-[4-[2-[(phenylmethoxy)imino]-2-(2-thienyl)ethyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



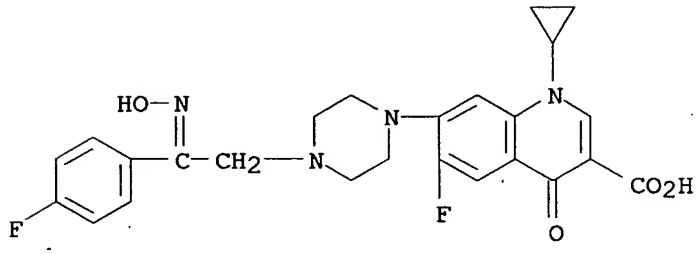
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:703049 CAPLUS
DN 137:382145
TI Antituberculosis agents III. In vitro evaluation of antimycobacterial activity and cytotoxicity of some N-piperazinyl quinolone derivatives
AU Foroumadi, A.; Soltani, F.; Emami, S.; Davood, A.
CS Department of Medicinal Chemistry, Faculty of Pharmacy, Kerman University of Medical Sciences, Kerman, Iran
SO Bollettino Chimico Farmaceutico (2002), 141(3), 247-249
CODEN: BCFAAI; ISSN: 0006-6648
PB Societa Editoriale Farmaceutica

DT Journal
LA English
AB A series of N-[2-oxo-2-(4-substituted phenyl)ethyl]piperazinyl quinolones(1a-e,2a-e and 3a-e) and N-[2-hydroxyimino-2-(4-substituted phenyl)ethyl]piperazinyl quinolones(1f-j,2f-j and 3d-f) were evaluated for antituberculosis activity against Mycobacterium tuberculosis H33R, using the BACTEC 460 radiometric system and BACTEC 12B medium. Active compds. were also screened by serial diln. to assess toxicity to a VERO cell line. 9 Compds. were efficient antimycobacterial agents showing MIC values ranging from 0.78 to 6.25 .mu.g/mL. Generally, ciprofloxacin derivs. were more active than norfloxacin and enoxacin derivs. and the oxime analogs were less active than corresponding ketones. The most selective and less toxic compd. 1a was tested for efficacy in vitro in TB-infected macrophage model (EC90 = 3.68 .mu.g/mL, EC99 = 9.18 .mu.g/mL).
IT **202925-35-7 202925-37-9**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(in vitro antimycobacterial activity and cytotoxicity of N-piperazinyl quinolone derivs.)
RN 202925-35-7 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



RN 202925-37-9 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:392235 CAPLUS
DN 136:401781
TI Preparation of 6-fluoro-1,4-dihydro-7-[4-(2-hydroxyiminoethyl)-1-

piperazinyl]-4-oxoquinoline-3-carboxylic acid derivatives as antibacterial and anticancer agents

IN Tzeng, Cherng-Chyi; Chen, Yeh-Long; Ko, Feng-Nien

PA Pharmaceutical Industry Technology, Taiwan

SO U.S. Pat. Appl. Publ., 9 pp., Division of U.S. Ser. No. 489,058, abandoned.
CODEN: USXXCO

DT Patent

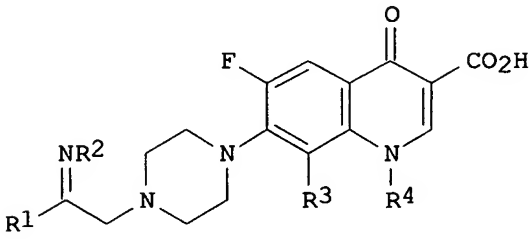
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002061895	A1	20020523	US 2001-2733	20011115
	US 6492373	B2	20021210		
	TW 584632	B	20040421	TW 2000-89100389	20000112
PRAI	TW 2000-89100389	A	20000112		
	US 2000-489058	B3	20000121		

OS MARPAT 136:401781

GI



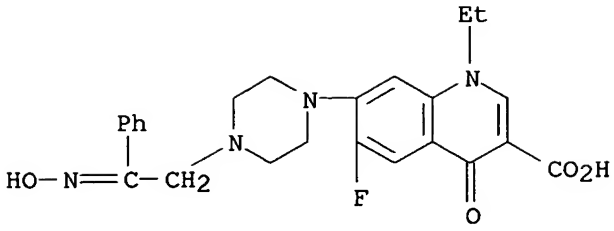
I

AB The title compds. [I; R1 = alkyl, (un)substituted Ph; R2 = OH, alkoxy, NH2, alkyl, CH2Ph; R3 = H, halo; R4 = alkyl, (un)substituted Ph], useful for the treatment of bacterial infections and/or renal cancer diseases, were prepd. Thus, treatment of 1-ethyl-6-fluoro-1,4-dihydro-4-oxo-7-[4-(2-oxopropyl)-1-piperazinyl]quinoline-3-carboxylic acid with hydrazine in MeOH afforded 83% I [R1 = Me; R2 = NH2; R3 = H; R4 = Et]. The compds. I were tested for antibacterial and anticancer activity, and data were given.

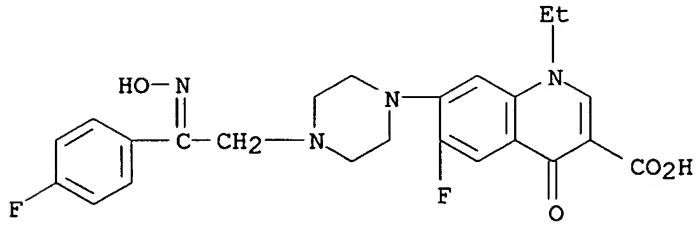
IT **202925-30-2P 202925-32-4P 202925-34-6P**
307543-39-1P 428821-64-1P 428821-65-2P
428821-66-3P 428821-67-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 6-fluoro-1,4-dihydro-7-[4-(2-hydroxyiminoethyl)-1-piperazinyl]-4-oxoquinoline-3-carboxylic acid derivs. as antibacterial and anticancer agents)

RN 202925-30-2 CAPLUS

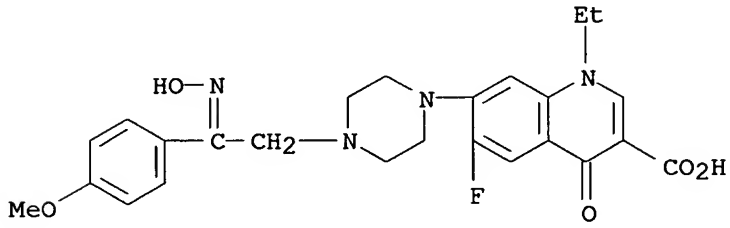
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



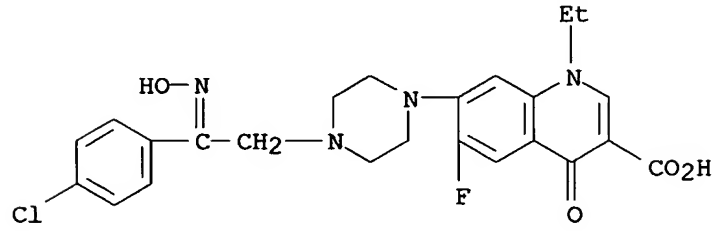
RN 202925-32-4 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



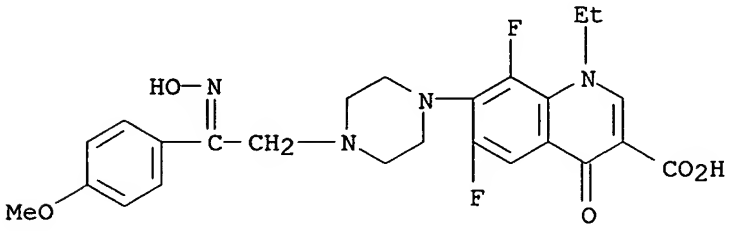
RN 202925-34-6 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-(4-methoxyphenyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



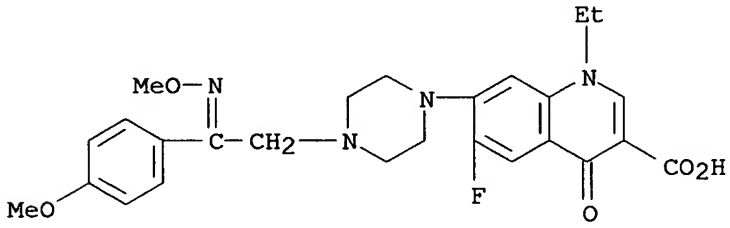
RN 307543-39-1 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[2-(4-chlorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



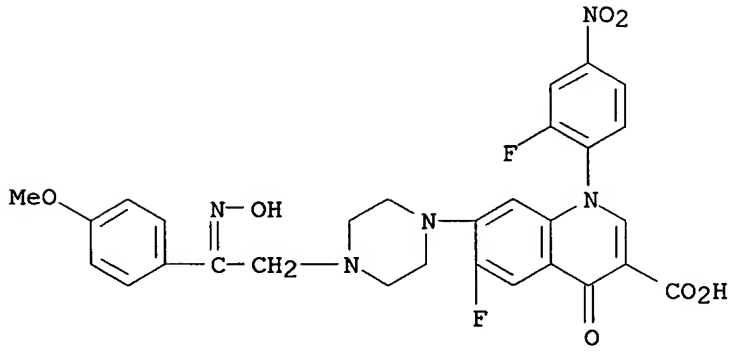
RN 428821-64-1 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6,8-difluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-(4-methoxyphenyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



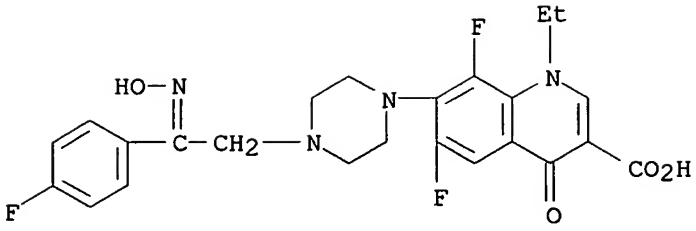
RN 428821-65-2 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-(methoxyimino)-2-(4-methoxyphenyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



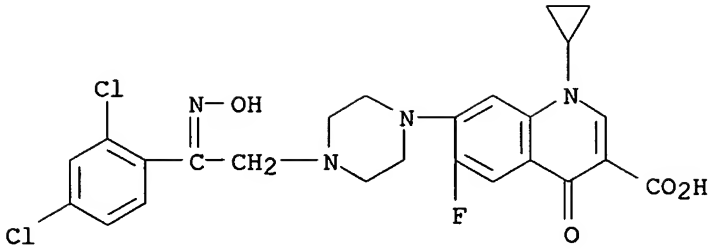
RN 428821-66-3 CAPLUS
CN 3-Quinolinecarboxylic acid, 6-fluoro-1-(2-fluoro-4-nitrophenyl)-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-(4-methoxyphenyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



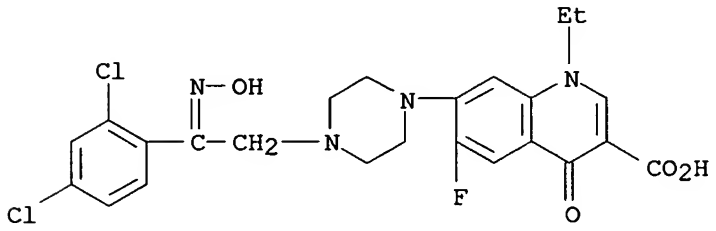
RN 428821-67-4 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6,8-difluoro-7-[4-[2-(4-fluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



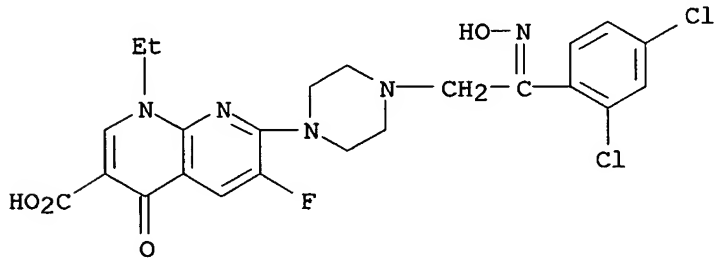
L9 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:217203 CAPLUS
DN 137:352876
TI Synthesis and antibacterial activity of some novel N-substituted piperazinyl-quinolones
AU Foroumadi, A.; Davood, A.; Mirzaei, M.; Emami, S.; Moshafi, M. H.
CS Department of Medicinal chemistry, Faculty of Pharmacy, Kerman University of Medical Sciences, Kerman, Iran
SO Bollettino Chimico Farmaceutico (2001), 140(6), 411-416
CODEN: BCFAAI; ISSN: 0006-6648
PB Societa Editoriale Farmaceutica
DT Journal
LA English
OS CASREACT 137:352876
AB A series of N-substituted-piperazinyl-quinolones were synthesized and evaluated for in vitro antibacterial activity. Compds. with a 2-(2,4-dichlorophenyl)-2-oxoethyl group attached to the piperazine ring had similar antibacterial activity to the ref. drugs, ciprofloxacin, norfloxacin and enoxacin against both Gram-pos. and Gram-neg. bacteria. Some of the oximes derivs. were almost less active than corresponding ketones against the tested microorganisms, however the 2,4-difluorophenyl analogs were more active than 2,4-dichlorophenyl derivs. If the hydrogen of oxime is replaced with a benzyl group, in-vitro antibacterial activity was decreased against both Gram-pos. and Gram-neg. bacteria. Generally ciprofloxacin derivs. were more active than norfloxacin and enoxacin derivs.
IT **474973-77-8P 474973-78-9P 474973-79-0P**
474973-80-3P 474973-81-4P 474973-82-5P
474973-83-6P 474973-84-7P 474973-85-8P
474973-86-9P 474973-87-0P 474973-88-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antibacterial activity of N-substituted piperazinyl-quinolones)
RN 474973-77-8 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-[4-[2-(2,4-dichlorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



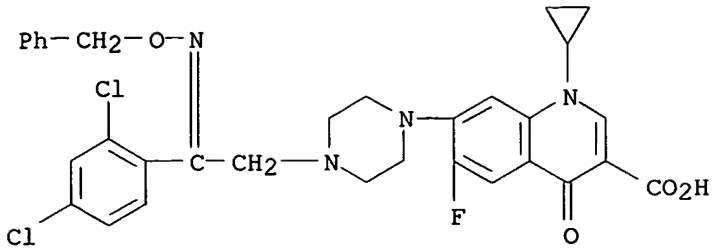
RN 474973-78-9 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[2-(2,4-dichlorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



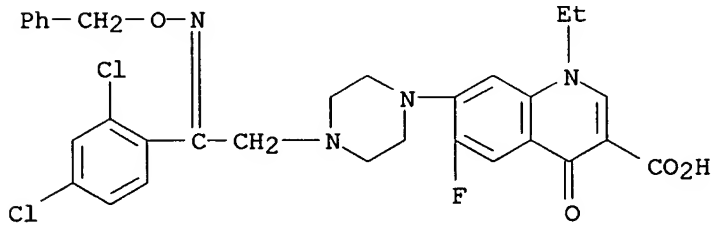
RN 474973-79-0 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[4-[2-(2,4-dichlorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



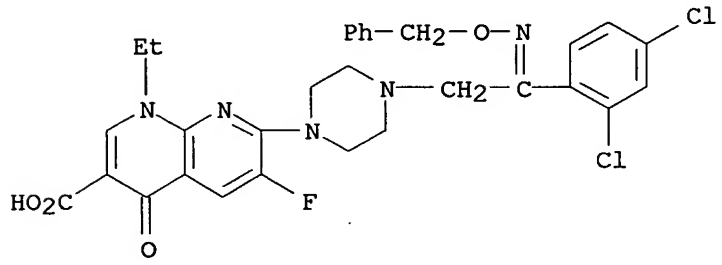
RN 474973-80-3 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-[4-[2-(2,4-dichlorophenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



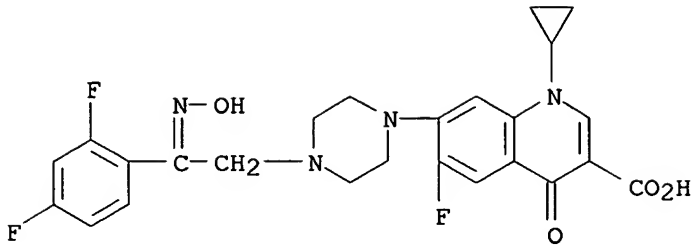
RN 474973-81-4 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[2-(2,4-dichlorophenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



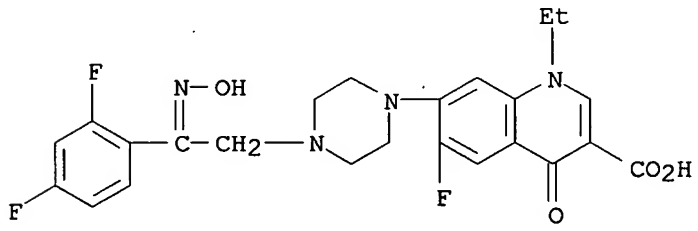
RN 474973-82-5 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[4-[2-(2,4-dichlorophenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



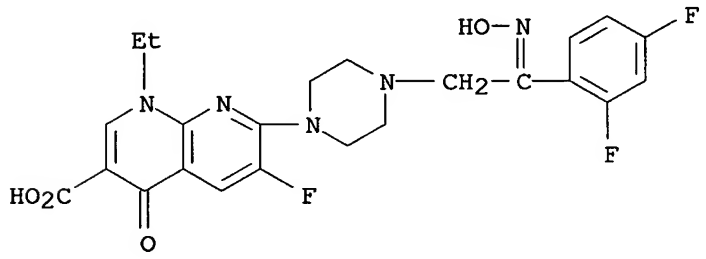
RN 474973-83-6 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-[4-[2-(2,4-difluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



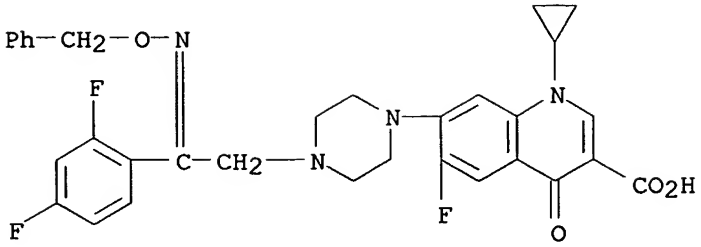
RN 474973-84-7 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[2-(2,4-difluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



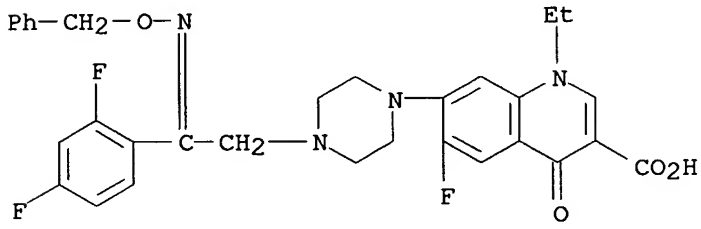
RN 474973-85-8 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[4-[2-(2,4-difluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



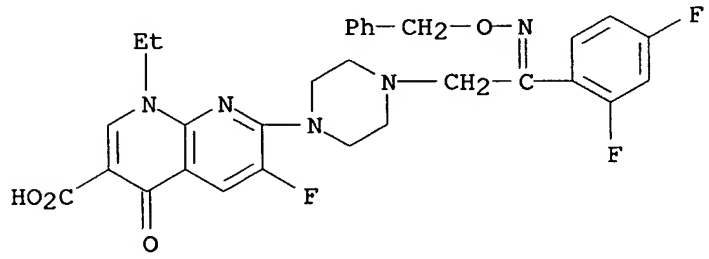
RN 474973-86-9 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-[4-[2-(2,4-difluorophenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 474973-87-0 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[2-(2,4-difluorophenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



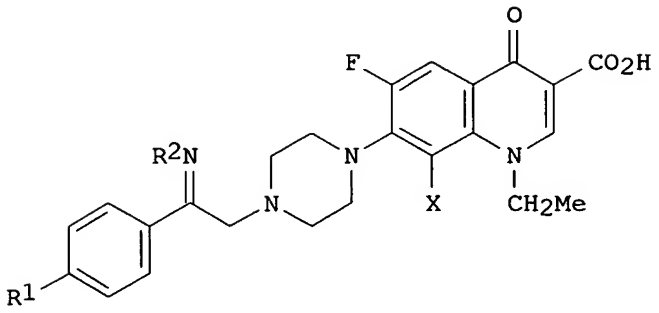
RN 474973-88-1 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[4-[2-(2,4-difluorophenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



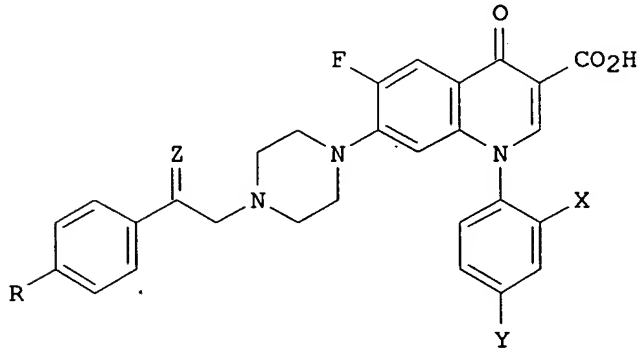
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:412636 CAPLUS
DN 135:166770
TI Synthesis and antibacterial evaluation of certain quinolone derivatives
AU Chen, Yeh-Long; Fang, Kuo-Chang; Sheu, Jia-Yuh; Hsu, Shu-Lin; Tzeng, Cherng-Chyi
CS School of Chemistry, Kaohsiung Medical University, Kaohsiung City, 807, Taiwan
SO Journal of Medicinal Chemistry (2001), 44(14), 2374-2377
CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society
DT Journal
LA English
OS CASREACT 135:166770
GI



I



II

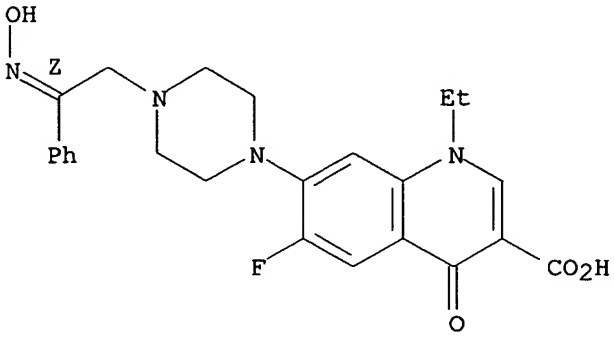
AB A no. of 7-substituted quinolone derivs., e.g., I (R1 = H, F, Cl, MeO, R2 = OH, NHCONH2, NHCSNH2, NH2, X = F, H) and II (R = F, MeO, X = H, F, Y = NO2, NH2, Z = O, NOH), were synthesized and evaluated for antibacterial and cytotoxic activities. Preliminary results indicated that most compds. tested in this study demonstrated better activity against methicillin-resistant Staphylococcus aureus than norfloxacin. Among them, 1-(4-amino-2-fluorophenyl)-6-fluoro-1,4-dihydro-7-{4-[2-(4-methoxyphenyl)-2-hydroxyiminoethyl]-1-piperazinyl}-4-oxo-3-quinolinecarboxylic acid II (R = MeO, Z = F, Y = NH2, Z = NOH) (III) and its ketone precursor II (X = O) (IV) exhibited significant activities against Klebsiella pneumoniae, methicillin-resistant S. aureus, erythromycin- and ampicillin-resistant Streptococcus pneumoniae, and vancomycin-resistant Enterococcus faecalis. Due to strong cytotoxicities of III (a mean log GI50 of -5.40), compd. IV, with good antibacterial activities and low cytotoxicities (a mean log GI50 of -4.67), is a more potential drug candidate.

IT **307543-36-8 353793-94-9 353793-96-1**
353793-98-3 353793-99-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(prepn., cytotoxicity, antibacterial, and antitumor activity of piperazinyl quinolones)

RN 307543-36-8 CAPLUS

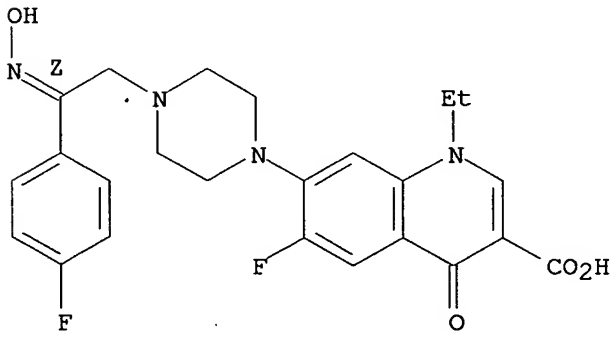
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[(2Z)-2-(hydroxyimino)-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



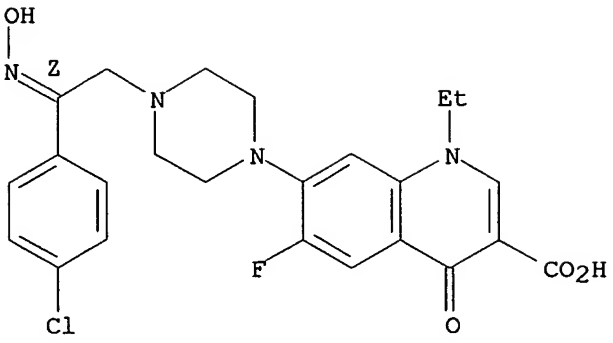
RN 353793-94-9 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[(2Z)-2-(4-fluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



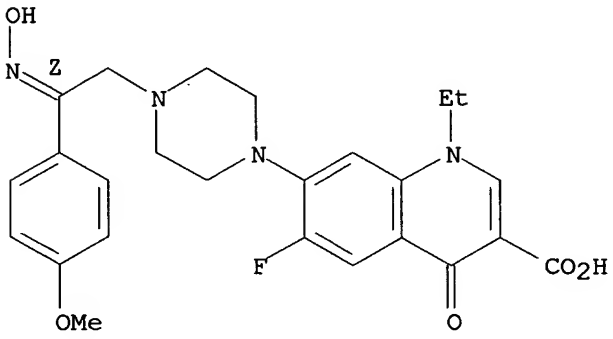
RN 353793-96-1 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[(2Z)-2-(4-chlorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



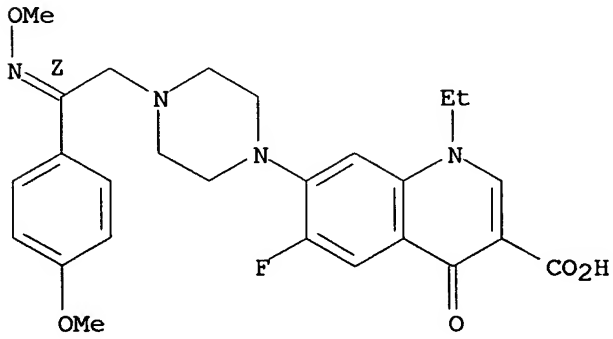
RN 353793-98-3 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[(2Z)-2-(hydroxyimino)-2-(4-methoxyphenyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 353793-99-4 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[(2Z)-2-(methoxyimino)-2-(4-methoxyphenyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

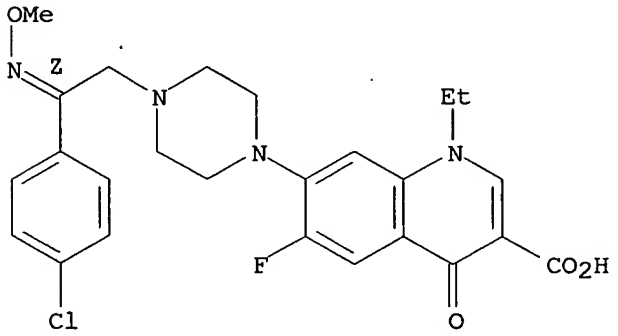


IT **353793-97-2P 353794-00-0P 353794-01-1P**

353794-02-2P 353794-04-4P 353794-05-5P
353794-06-6P 353794-15-7P 353794-16-8P
353794-17-9P 353794-18-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn., cytotoxicity, antibacterial, and antitumor activity of piperazinyl quinolones)

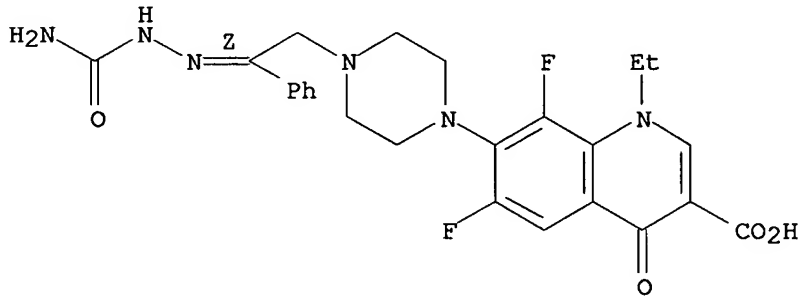
RN 353793-97-2 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[(2Z)-2-(4-chlorophenyl)-2-(methoxyimino)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



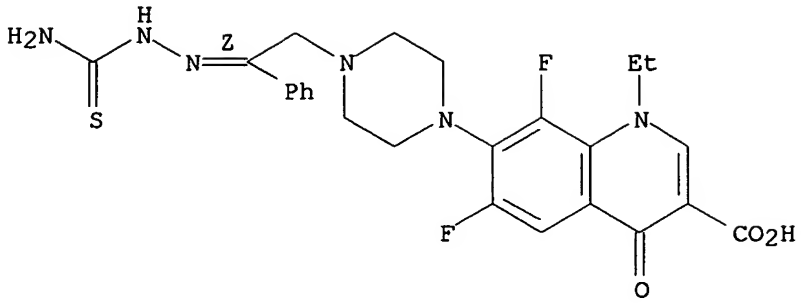
RN 353794-00-0 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[(2Z)-2-[(aminocarbonyl)hydrazono]-2-phenylethyl]-1-piperazinyl]-1-ethyl-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



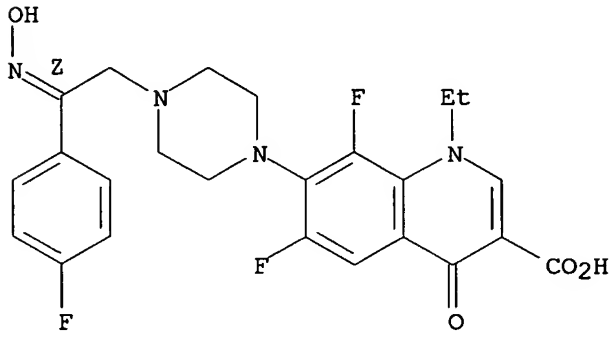
RN 353794-01-1 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[(2Z)-2-[(aminothioxomethyl)hydrazono]-2-phenylethyl]-1-piperazinyl]-1-ethyl-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



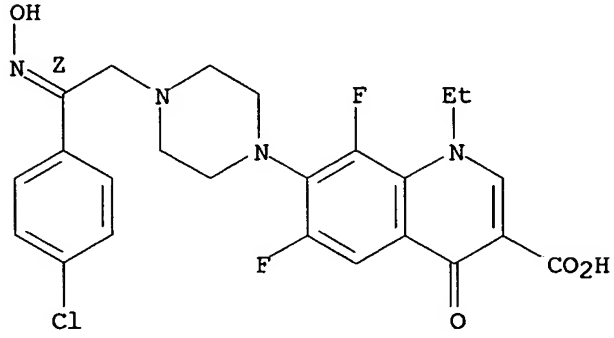
RN 353794-02-2 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6,8-difluoro-7-[4-[(2Z)-2-(4-fluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 353794-04-4 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[(2Z)-2-(4-chlorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-ethyl-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

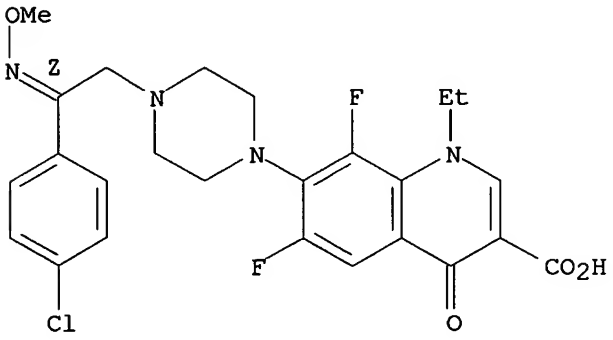
Double bond geometry as shown.



RN 353794-05-5 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[(2Z)-2-(4-chlorophenyl)-2-

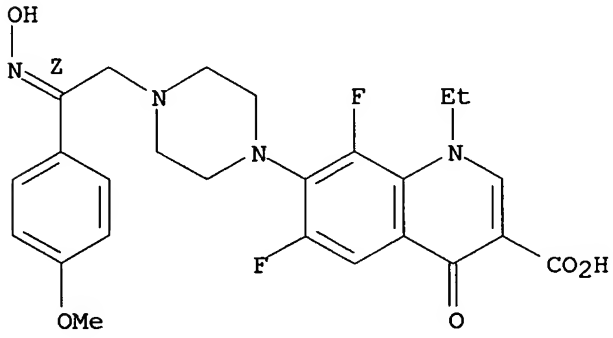
(methoxyimino)ethyl]-1-piperazinyl]-1-ethyl-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



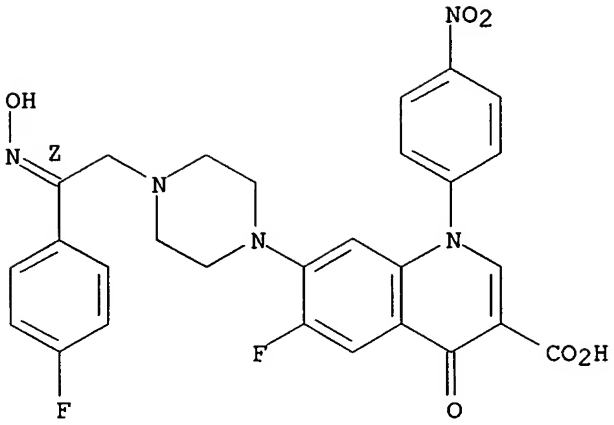
RN 353794-06-6 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6,8-difluoro-1,4-dihydro-7-[4-[(2Z)-2-(hydroxyimino)-2-(4-methoxyphenyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



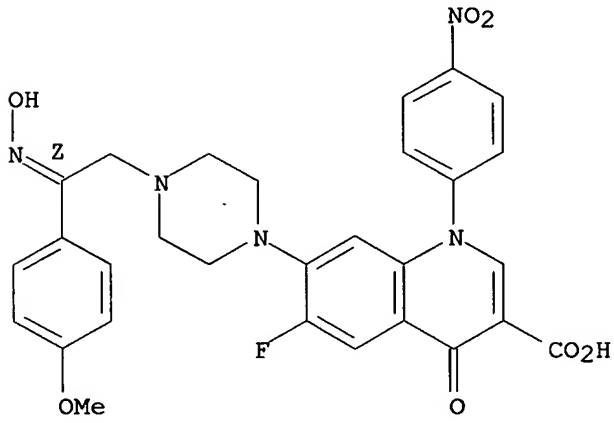
RN 353794-15-7 CAPLUS
CN 3-Quinolinecarboxylic acid, 6-fluoro-7-[4-[(2Z)-2-(4-fluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-1-(4-nitrophenyl)-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



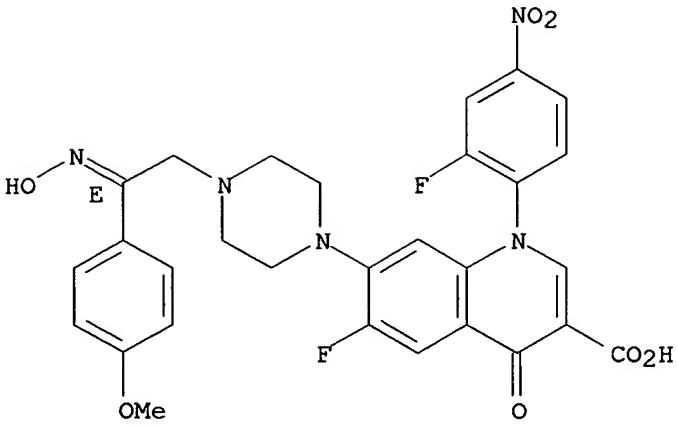
RN 353794-16-8 CAPLUS
CN 3-Quinolinecarboxylic acid, 6-fluoro-1,4-dihydro-7-[4-[(2Z)-2-(hydroxyimino)-2-(4-methoxyphenyl)ethyl]-1-piperazinyl]-1-(4-nitrophenyl)-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



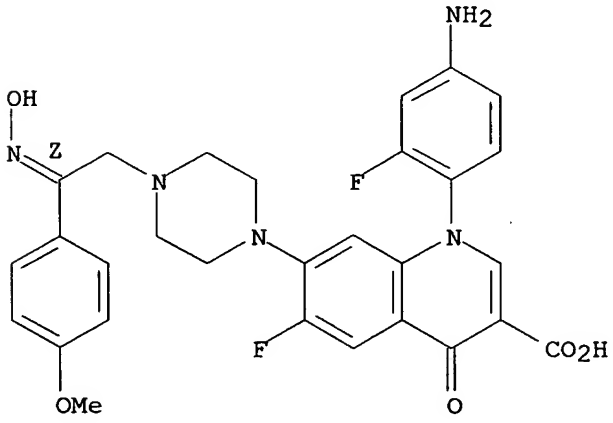
RN 353794-17-9 CAPLUS
CN 3-Quinolinecarboxylic acid, 6-fluoro-1-(2-fluoro-4-nitrophenyl)-1,4-dihydro-7-[4-[(2E)-2-(hydroxyimino)-2-(4-methoxyphenyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



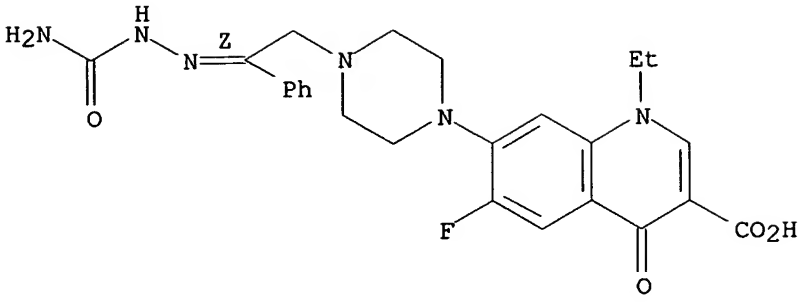
RN 353794-18-0 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-(4-amino-2-fluorophenyl)-6-fluoro-1,4-dihydro-7-[4-[(2Z)-2-(hydroxyimino)-2-(4-methoxyphenyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



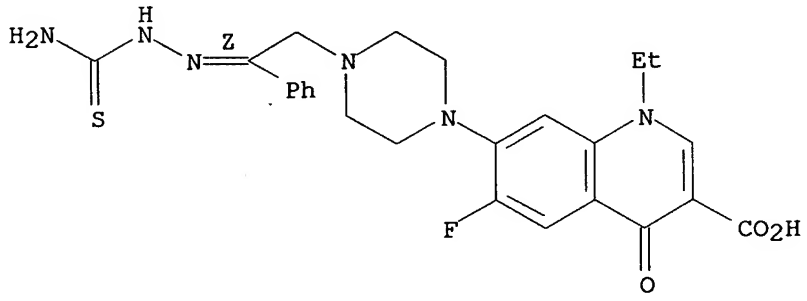
IT **353793-92-7P 353793-93-8P 353793-95-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., cytotoxicity, antibacterial, and antitumor activity of piperazinyl quinolones)
RN 353793-92-7 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[(2Z)-2-[(aminocarbonyl)hydrazono]-2-phenylethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



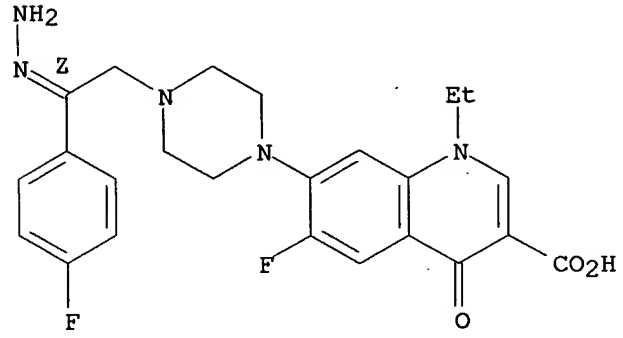
RN 353793-93-8 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[(2Z)-2-[(aminothioxomethyl)hydrazono]-2-phenylethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 353793-95-0 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[(2Z)-2-(4-fluorophenyl)-2-hydrazonoethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

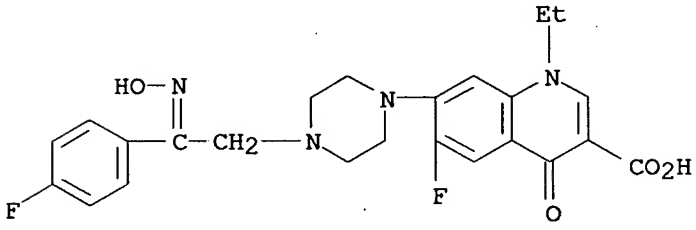
Double bond geometry as shown.



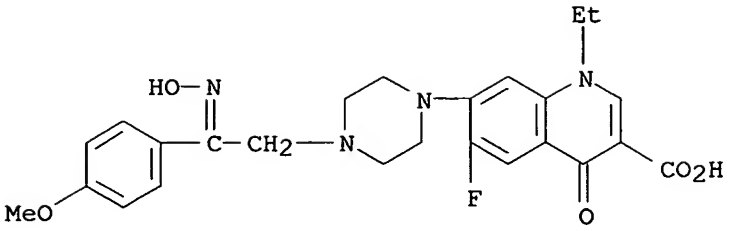
RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2000:646381 CAPLUS
DN 133:362748
TI Synthesis, Antibacterial, and Cytotoxic Evaluation of Certain 7-Substituted Norfloxacin Derivatives
AU Fang, Kuo-Chang; Chen, Yeh-Long; Sheu, Jia-Yuh; Wang, Tai-Chi; Tzeng, Cherng-Chyi
CS School of Chemistry, Kaohsiung Medical University, Kaohsiung City, 807, Taiwan
SO Journal of Medicinal Chemistry (2000), 43(20), 3809-3812
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 133:362748
AB The synthesis and biol. evaluation of two series of 7-substituted norfloxacin derivs. were reported. Most compds. tested in this study demonstrated better activity against methicillin-resistant Staphylococcus aureus than norfloxacin. Preliminary in vitro evaluation indicated that 7-[4-(2-hydroxyiminoethyl)-1-piperazinyl] derivs. possess distinct cytotoxicity profiles as compared with their .alpha.-methylene-.gamma.-butyrolactone counterparts, i.e., excellent activities against the renal cancer subpanel. Among them, 1-ethyl-6-fluoro-7-[4-[2-(4-chlorophenyl)-2-hydroxyiminoethyl]-1-piperazinyl]-4-oxo-1,4-dihydro-3-quinolinecarboxylic acid demonstrated the most significant activities against renal cancer cell lines, with log GI50 values of -6.40 against CAK-1, -6.14 against RXF 393, and -7.54 against UO-31, compared with a mean log GI50 value of -5.03.
IT **202925-32-4P 202925-34-6P 307543-34-6P 307543-36-8P 307543-39-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antibacterial and cytotoxic activity of 7-substituted norfloxacin derivs.)
RN 202925-32-4 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

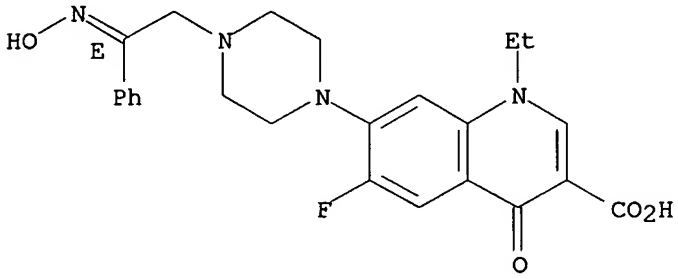


RN 202925-34-6 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-(4-methoxyphenyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



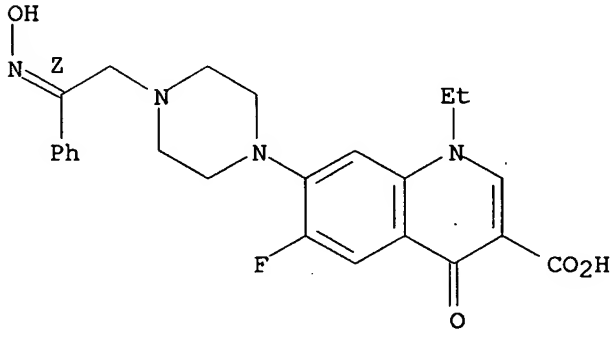
RN 307543-34-6 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[(2E)-2-(hydroxyimino)-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

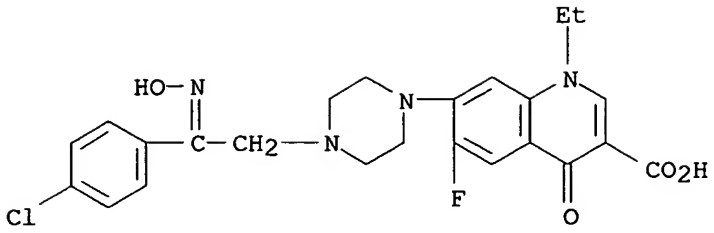


RN 307543-36-8 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[(2Z)-2-(hydroxyimino)-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 307543-39-1 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[2-(4-chlorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

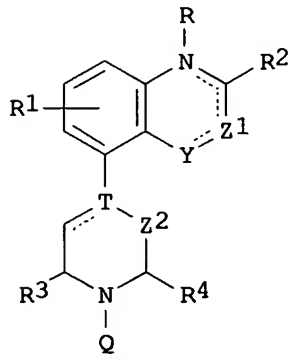


RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:176950 CAPLUS
DN 130:223299
TI Preparation of 5-piperazinotetrahydroquinolines and analogs as 5-HT1 receptor agonists
IN Feenstra, R. W.; Visser, G. M.; Kruse, C. G.; Tulp, M. T. M.; Long, S. K.
PA Duphar International Research B.V, Neth.
SO Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 900792	A1	19990310	EP 1998-202832	19980824
	EP 900792	B1	20031029		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	AT 253058	E	20031115	AT 1998-202832	19980824
	CA 2246126	AA	19990302	CA 1998-2246126	19980828
	JP 11147871	A2	19990602	JP 1998-259105	19980831
	US 6214829	B1	20010410	US 1998-144076	19980831
PRAI	EP 1997-202704	A	19970902		

OS MARPAT 130:223299
GI



I

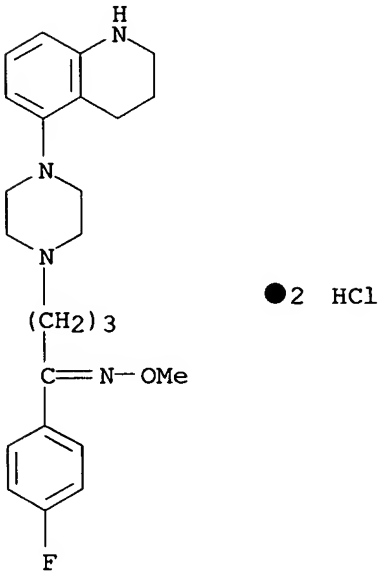
AB Title compds. [I; Q = CH2CR5R6ZR7; R,R3,R4 = H or alkyl; R1 = H or F; R2 = H, alkyl, oxo (sic); RR2 = bond; R5,R6 = H, alkyl, alkylphenyl; R7 =

cyclic group (sic), (hetero)aryl, adamantyl, etc.; T = N or C (sic); Y = C, O, N, or S (sic); Z = CH2O, CH2CO, NHCO, etc.; Z1 = (CR'')p; R'' = H or alkyl; Z2 = (CH2)n; n = 1 or 2; p = 0-2; dashed lines = optional bond(s)] were prepd. Thus, 5-(1-piperazinyl)-1,2,3,4-tetrahydroquinoline was alkylated by Cl(CH2)3COC6H4F-4 to give I [Q = (CH2)3COC6H4F-4; R-R4 = H, T = N, Y = Z1 = Z2 = CH2, dashed lines = null]. Data for biol. activity of I were given.

IT **221193-69-7P 221193-72-2P 221193-74-4P**
221194-70-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 5-piperazinotetrahydroquinolines and analogs as 5-HT1 receptor agonists)

RN 221193-69-7 CAPLUS

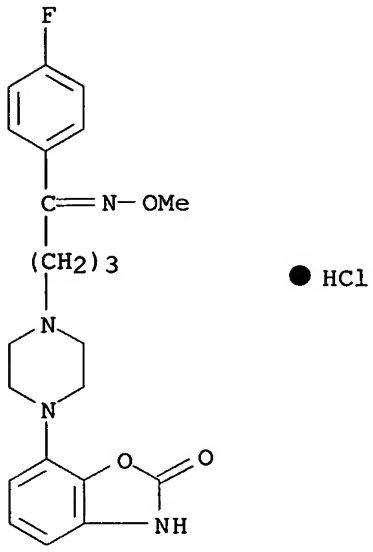
CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(1,2,3,4-tetrahydro-5-quinolinyl)-1-piperazinyl]-, O-methyloxime, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

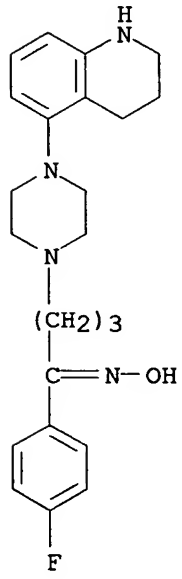
RN 221193-72-2 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[4-(4-fluorophenyl)-4-(methoxyimino)butyl]-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

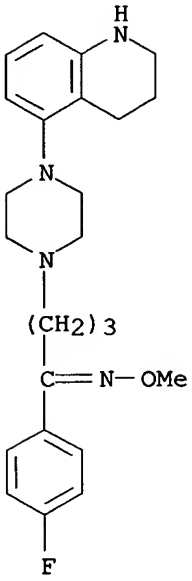


● HCl

RN 221193-74-4 CAPLUS
CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(1,2,3,4-tetrahydro-5-quinolinyl)-1-piperazinyl]-, oxime (9CI) (CA INDEX NAME)

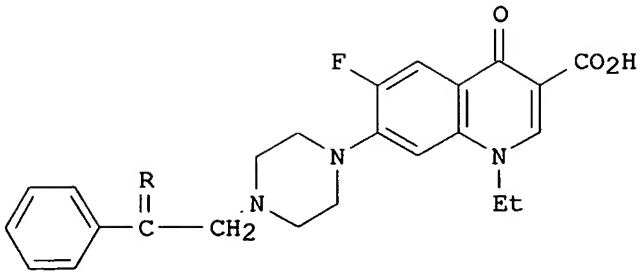


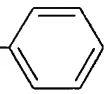
RN 221194-70-3 CAPLUS
CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(1,2,3,4-tetrahydro-5-quinolinyl)-1-piperazinyl]-, O-methyloxime (9CI) (CA INDEX NAME)



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:34171 CAPLUS
DN 128:164860
TI Synthesis and in vitro antibacterial activities of N-substituted piperazinyl quinolones
AU Foroumadi, A.; Emami, S.; Davood, A.; Moshafi, M. H.; Sharifian, A.; Tabatabaie, M.; Farimani, H. Tarhimi; Sepehri, G.; Shafiee, A.
CS The Research Center of The Medical Sciences University of Kerman, Kerman, Iran
SO Pharmaceutical Sciences (1997), 3(12), 559-563
CODEN: PHSCFB; ISSN: 1356-6881
PB Royal Pharmaceutical Society of Great Britain
DT Journal
LA English
GI



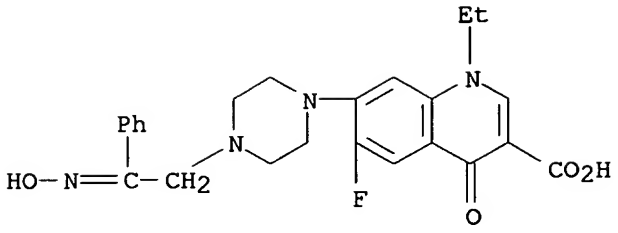
I R=O
II R=NOH
III R=NOCH2— 

AB A series of N-substituted piperazinyl quinolones (e.g. I, II, and III) were prepd. and evaluated for in-vitro antibacterial activity. Compds. having phenacyl group attached to the piperazine ring were as potent as norfloxacin, ciprofloxacin and enoxacin. The oximes were almost as potent as the corresponding ketones against staphylococci but less active against Gram-neg. bacteria. Some oximes were found to be more active than norfloxacin and enoxacin against Gram-pos. organisms. In general, the O-benzyloxime derivs. had lower antibacterial activity than ref. compds. However, compds. having a 4-nitro group in the benzyl moiety of O-benzyloxime derivs. had antistaphylococcal activity greater than norfloxacin, ciprofloxacin and enoxacin. Generally, ciprofloxacin derivs. were more active than norfloxacin or enoxacin derivs.

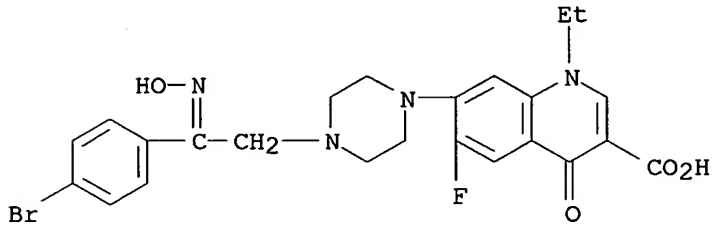
IT **202925-30-2P 202925-31-3P 202925-32-4P**
202925-33-5P 202925-34-6P 202925-35-7P
202925-36-8P 202925-37-9P 202925-38-0P
202925-39-1P 202925-40-4P 202925-41-5P
202925-42-6P 202925-43-7P 202925-44-8P
202925-45-9P 202925-46-0P 202925-48-2P
202925-50-6P 202925-51-7P 202925-52-8P
202925-53-9P 202925-54-0P 202925-58-4P
202925-59-5P 202925-62-0P 202925-64-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and in vitro antibacterial activities of N-substituted piperazinyl quinolones)

RN 202925-30-2 CAPLUS

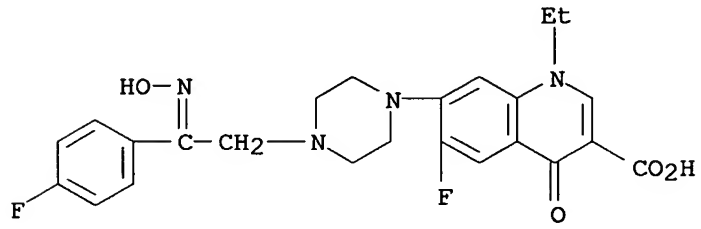
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



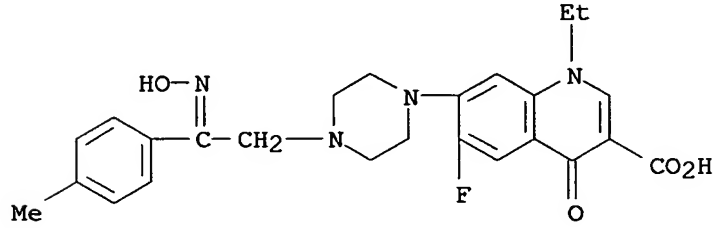
RN 202925-31-3 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[2-(4-bromophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



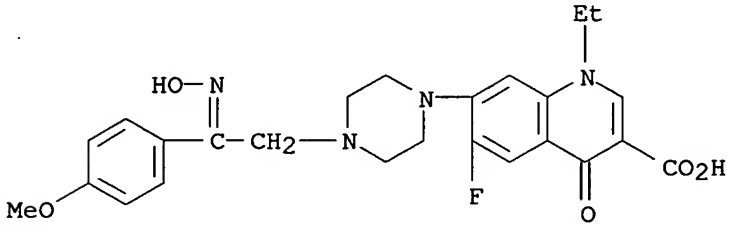
RN 202925-32-4 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



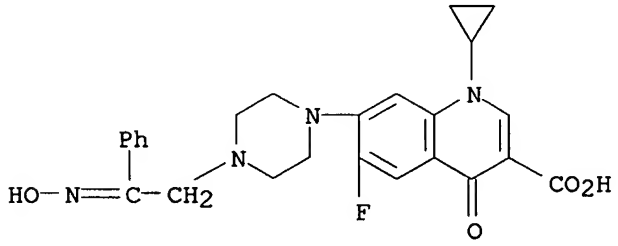
RN 202925-33-5 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-(4-methylphenyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



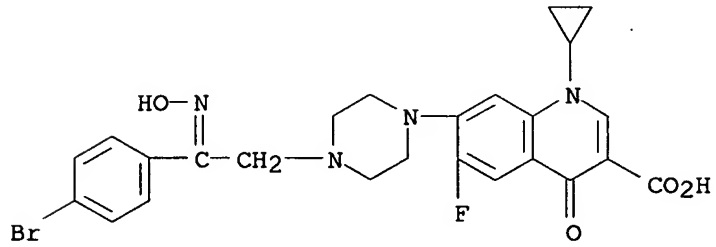
RN 202925-34-6 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-(4-methoxyphenyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



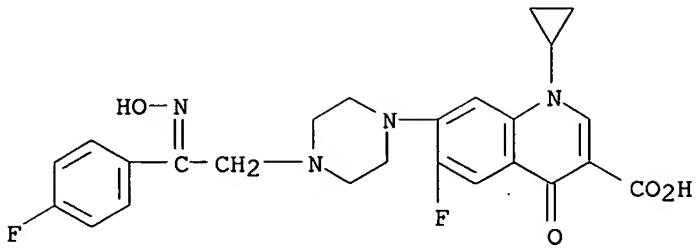
RN 202925-35-7 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



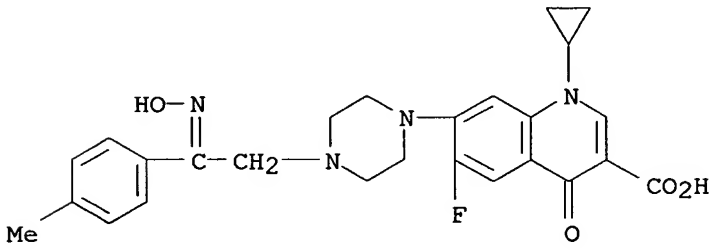
RN 202925-36-8 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[2-(4-bromophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



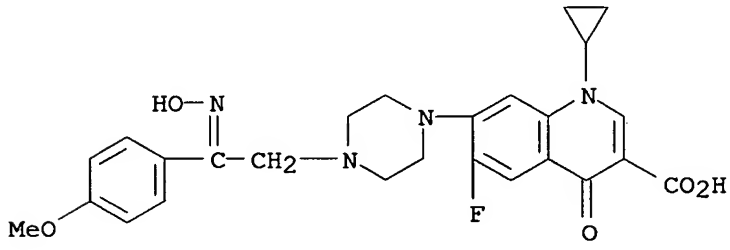
RN 202925-37-9 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



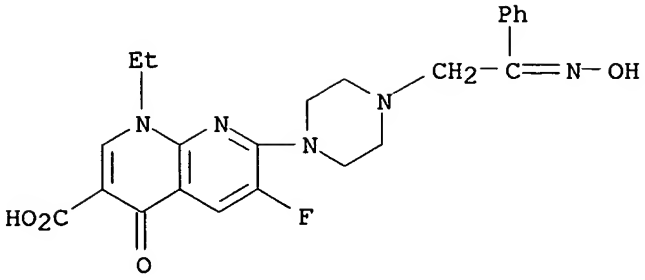
RN 202925-38-0 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-(4-methylphenyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



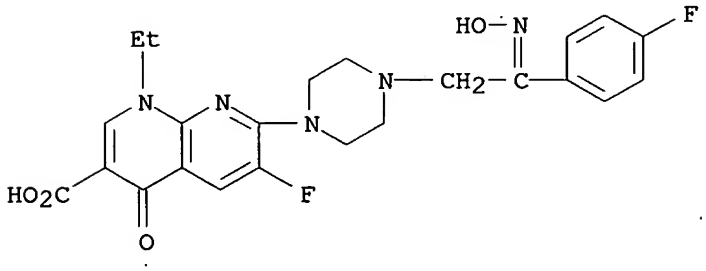
RN 202925-39-1 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-(4-methoxyphenyl)ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



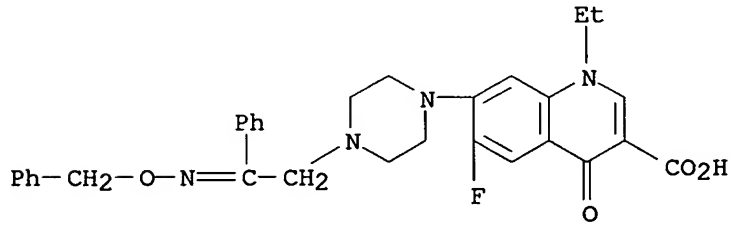
RN 202925-40-4 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-(hydroxyimino)-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



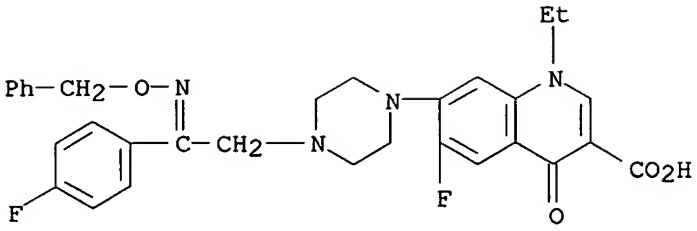
RN 202925-41-5 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-(hydroxyimino)ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



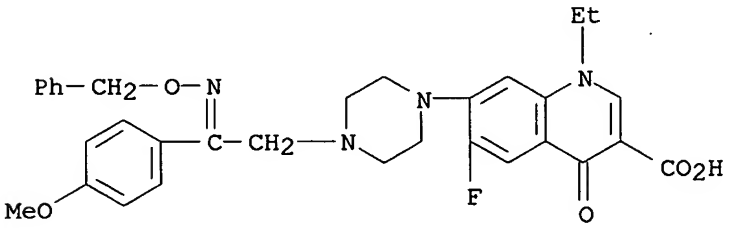
RN 202925-42-6 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-4-oxo-7-[4-[2-phenyl-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



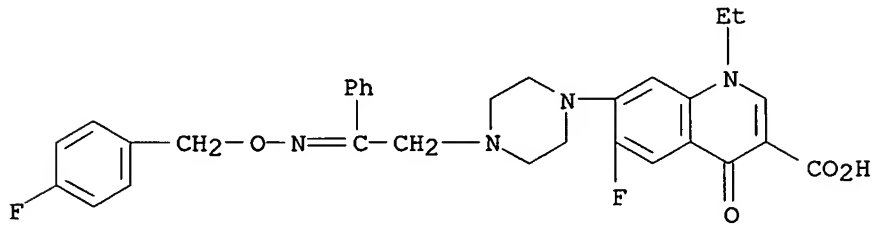
RN 202925-43-7 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



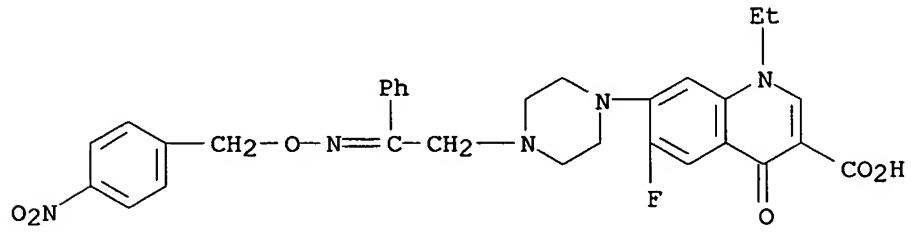
RN 202925-44-8 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-(4-methoxyphenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



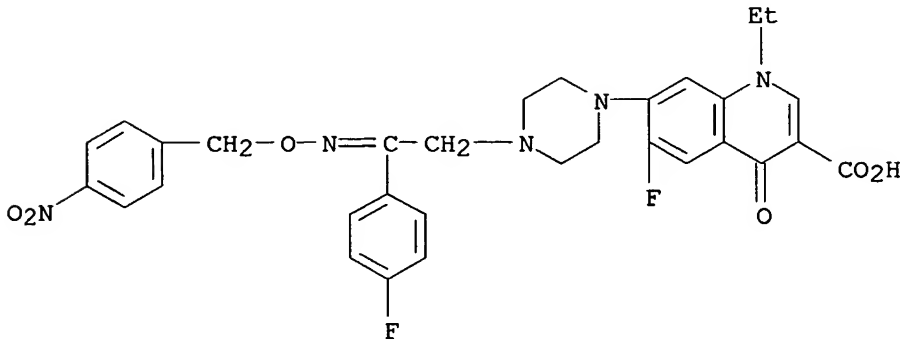
RN 202925-45-9 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-[[(4-fluorophenyl)methoxy]imino]-2-phenylethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



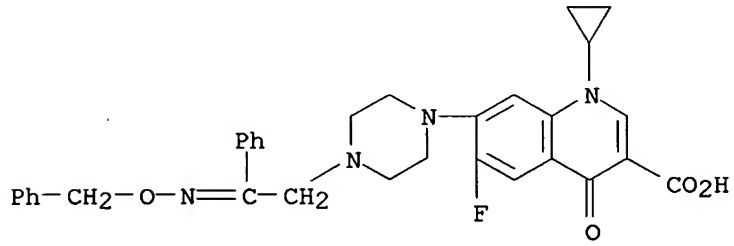
RN 202925-46-0 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-[4-[2-[[(4-nitrophenyl)methoxy]imino]-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



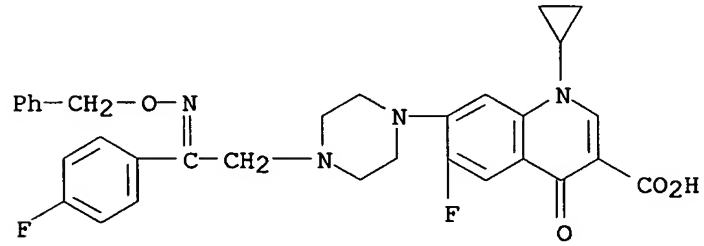
RN 202925-48-2 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-[[(4-nitrophenyl)methoxy]imino]ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 202925-50-6 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-7-[4-[2-phenyl-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

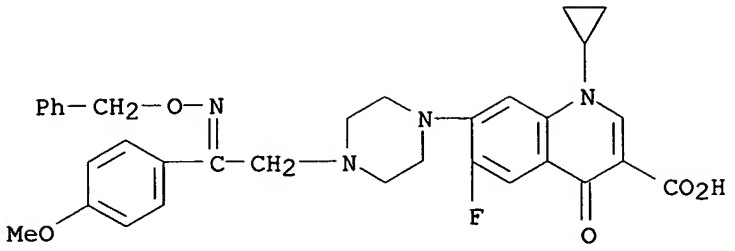


RN 202925-51-7 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

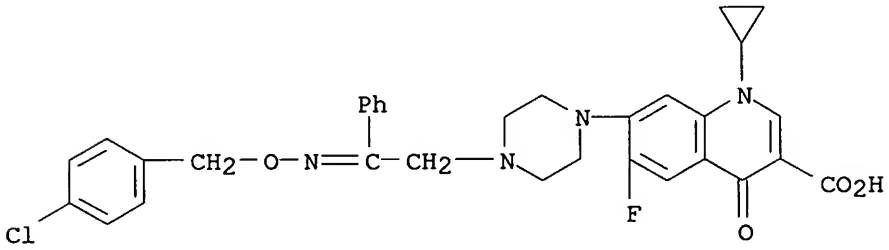


RN 202925-52-8 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7-[4-[2-(4-methoxyphenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-4-oxo- (9CI)

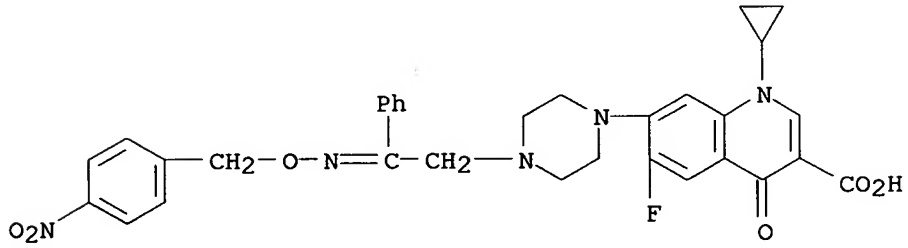
(CA INDEX NAME)



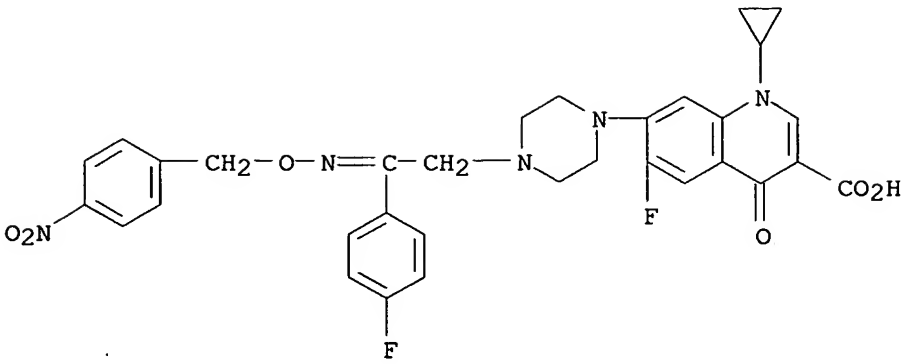
RN 202925-53-9 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[2-[[(4-chlorophenyl)methoxy]imino]-2-phenylethyl]-1-piperazinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



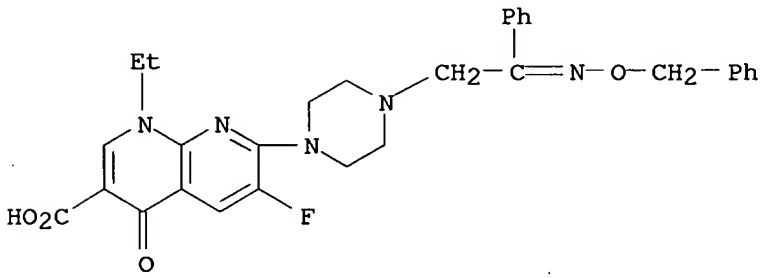
RN 202925-54-0 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7-[4-[2-[[(4-nitrophenyl)methoxy]imino]-2-phenylethyl]-1-piperazinyl]-4-oxo- (9CI) (CA INDEX NAME)



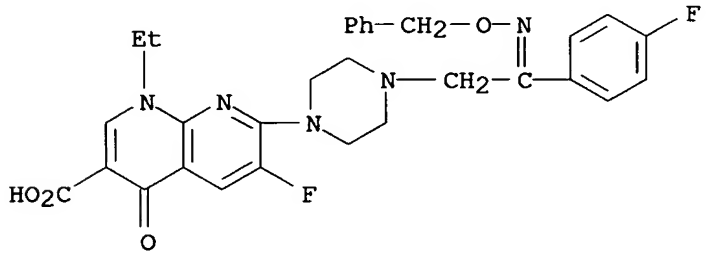
RN 202925-58-4 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-[[(4-nitrophenyl)methoxy]imino]ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



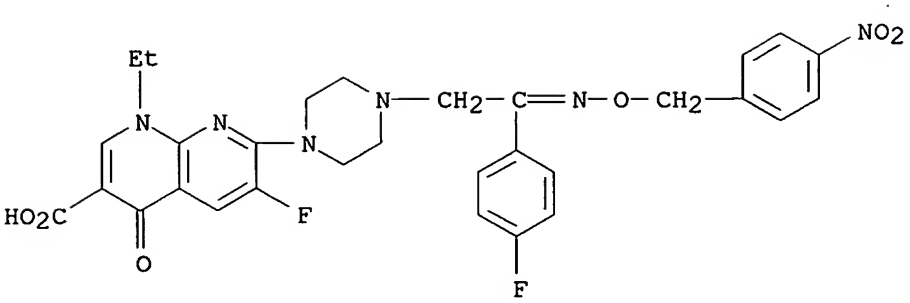
RN 202925-59-5 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-4-oxo-7-[4-[2-phenyl-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 202925-62-0 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-[(phenylmethoxy)imino]ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

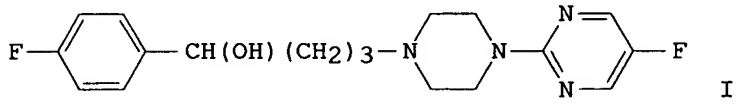


RN 202925-64-2 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 1-ethyl-6-fluoro-7-[4-[2-(4-fluorophenyl)-2-[[(4-nitrophenyl)methoxy]imino]ethyl]-1-piperazinyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1993:6946 CAPLUS
DN 118:6946
TI Synthesis and biological characterization of .alpha.-(4-fluorophenyl)-4-(5-fluoro-2-pyrimidinyl)-1-piperazinebutanol and analogs as potential atypical antipsychotic agents
AU Yevich, Joseph P.; New, James S.; Lobeck, Walter G.; Dextraze, Pierre; Bernstein, Edith; Taylor, Duncan P.; Yocca, Frank D.; Eison, Michael S.; Temple, Davis L., Jr.
CS Pharm. Res. Inst., Bristol-Myers Squibb Co., Wallingford, CT, 06492, USA
SO Journal of Medicinal Chemistry (1992), 35(24), 4516-25
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
GI



I

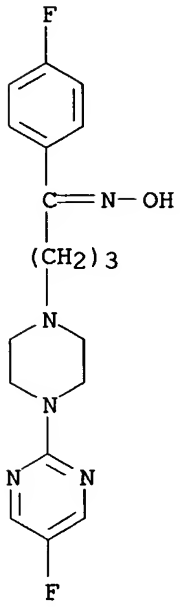
AB A series of 1-(pyrimidin-2-yl)piperazine derivs. was prepd. and evaluated in receptor binding assays and in in vivo behavioral paradigms as potential atypical antipsychotic agents. The title compd.(I) [DMS 181100 (formerly MBY 14802)] emerged as the lead compd. from within the series on the basis of its good activity and duration of action in the inhibition of both conditioned avoidance responding and apomorphine-induced stereotopy in the rat. Compd. I not only failed to induce catalepsy in the rat but was quite effective in reversing the cataleptic effect of neuroleptic agents, thus indicating a low propensity for causing extrapyramidal side effects. In comparison to ref. antipsychotic agents, I appeared to be less sedating and was relatively weaker in causing loss of muscle coordination. The compd. was essentially inactive in binding to dopamine D2 receptors and its chronic administration to rats did not result in dopamine receptor supersensitivity. It exhibited modest to weak affinity for 5-HT1a and a1 receptors but was found to be a fairly potent ligand for .sigma. binding sites. Although the resolved enantiomers of racemic I did not show dramatic differences from racemate or from each other in most tests, the R-(+) enantiomer was up to 11-fold more potent than its

antipode in binding to .sigma. sites. Several studies have indicated that I may be a limbic-selective agent which may modulate dopaminergic activity by an indirect mechanism. The compd. has been selected for clin. evaluation in the treatment of psychosis.

IT **144317-95-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(prepn., hydrogenation, and antipsychotic activity of)

RN 144317-95-3 CAPLUS

CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(5-fluoro-2-pyrimidinyl)-1-piperazinyl]-, oxime (9CI) (CA INDEX NAME)



L9 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1982:423646 CAPLUS

DN 97:23646

TI Isoquinoline derivatives, pharmaceutical compositions containing them and their use

IN Knoz, Elmar; Hock, Franz; Kaiser, Joachim; Kruse, Hansjoerg

PA Hoechst A.-G. , Fed. Rep. Ger.

SO Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW

DT Patent

LA German

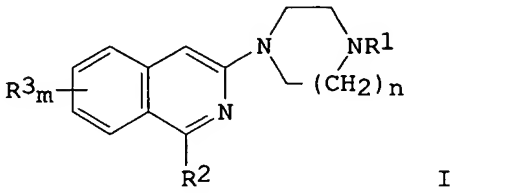
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 47923	A1	19820324	EP 1981-106884	19810903
	EP 47923	B1	19840509		
	R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	DE 3034001	A1	19820422	DE 1980-3034001	19800910
	AT 7389	E	19840515	AT 1981-106884	19810903
	ES 505191	A1	19820816	ES 1981-505191	19810904

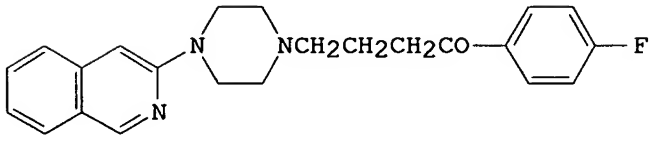
	JP 57080372	A2	19820519	JP 1981-139850	19810907
	FI 8102783	A	19820311	FI 1981-2783	19810908
	FI 71734	B	19861031		
	FI 71734	C	19870209		
	IL 63765	A1	19850531	IL 1981-63765	19810908
	DK 8104006	A	19820311	DK 1981-4006	19810909
	NO 8103066	A	19820311	NO 1981-3066	19810909
	AU 8175091	A1	19820318	AU 1981-75091	19810909
	AU 541976	B2	19850131		
	ZA 8106237	A	19820825	ZA 1981-6237	19810909
	HU 31176	O	19840428	HU 1981-2595	19810909
	HU 187357	B	19851228		
	CA 1168232	A1	19840529	CA 1981-385547	19810909
	ES 506584	A1	19830301	ES 1981-506584	19811027
	ES 506583	A1	19830401	ES 1981-506583	19811027
	US 4590273	A	19860520	US 1984-594366	19840328
PRAI	DE 1980-3034001	A	19800910		
	EP 1981-106884	A	19810903		
	US 1981-300434	A2	19810908		

OS CASREACT 97:23646; MARPAT 97:23646

GI



I



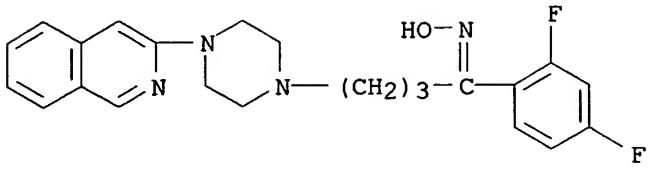
II

AB I [R1 = H, C1-6 alkyl, C1-4 alkoxy, C3-6 cycloalkyl, thienyl, furyl, pyridyl, aryl, (CH2)pCOR (R = aryl, furyl, thienyl, pyridyl; p = 0-4), etc.; R2 = H, C1-6 alkyl; R3 = H, halo, C1-6 alkyl, alkoxy, etc.; m, n = 1, 2] were prepd. as antiarrhythmics, antihypertensives, and neuroleptics (no data). Thus, 3-chloroisoquinline and pyrazine gave 3-pyrazinoisoquinoline, which with .omega.-chloro-4-florobutyrophenone ethylene ketal (followed by deprotection) gave II.

IT **82117-77-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydride redn. of)

RN 82117-77-9 CAPLUS

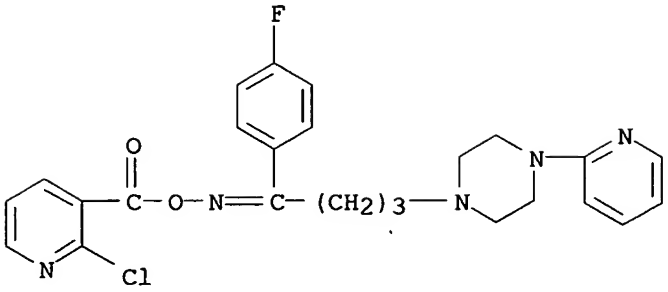
CN 1-Butanone, 1-(2,4-difluorophenyl)-4-[4-(3-isoquinolinyl)-1-piperazinyl]-, oxime (9CI) (CA INDEX NAME)



L9 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1974:536181 CAPLUS
DN 81:136181
TI Pharmaceutical 1-(4-fluorophenyl)-4-(1-piperazinyl)-1-butanone oximes
IN Buzas, Andre; Bruneau, Jacques
PA Laboratoires Bruneau et Cie.
SO Ger. Offen., 16 pp. Addn. to Ger. Offen. 2,257,639 (CA 79;92278d).
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2319016	A1	19740808	DE 1973-2319016	19730414
	FR 2215953	A2	19740830	FR 1973-3925	19730205
	GB 1384523	A	19750219	GB 1973-19306	19730424
PRAI	FR 1973-3925	A	19730205		

GI For diagram(s), see printed CA Issue.
AB Eight piperazines I [R = CH2CH:CH2, COC6H2(OMe)3-3,4,5,-2-chloro-3-[R = CH2CH:CH2, COC6H2(OMe)3-3,4,5,-2-chloro-3-pyridylcarbonyl, (CH2)2NEt2, or 2-morpholinoethyl; R1 = 2-pyridyl or 2-pyrimidyl] were prepd. by reaction of I (R = H) with RCl. I had analgesic, antiinflammatory, and spasmolytic activity and potentiated the barbiturate anesthesia in mice. The LD50 was tested in mice on oral administration.
IT **54042-48-7P 54042-56-7P 54042-58-9P**
54042-60-3P 54042-61-4P 54064-24-3P
54064-25-4P 54064-26-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and pharmacol. activity of)
RN 54042-48-7 CAPLUS
CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(2-pyridinyl)-1-piperazinyl]-, O-[(2-chloro-3-pyridinyl)carbonyl]oxime, monohydrochloride (9CI) (CA INDEX NAME)

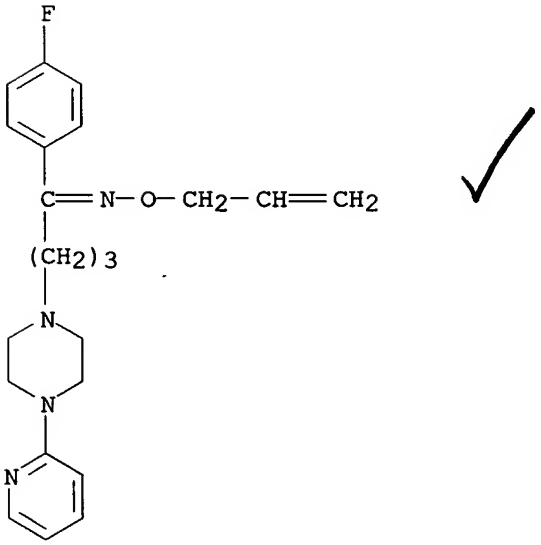


● HCl

RN 54042-56-7 CAPLUS
CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(2-pyridinyl)-1-piperazinyl]-, O-2-propenyloxime, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

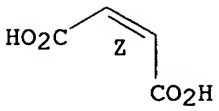
CRN 54042-55-6
CMF C22 H27 F N4 O



CM 2

CRN 110-16-7
CMF C4 H4 O4

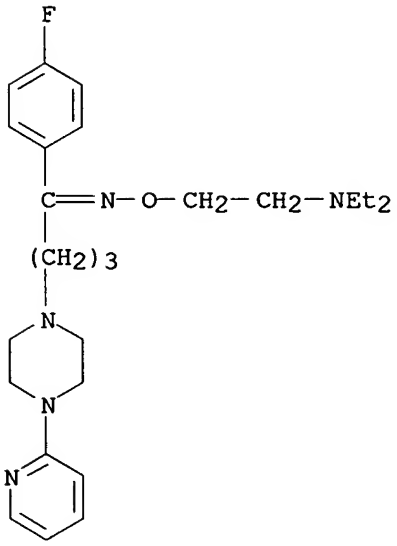
Double bond geometry as shown.



RN 54042-58-9 CAPLUS
CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(2-pyridinyl)-1-piperazinyl]-, O-[2-(diethylamino)ethyl]oxime, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

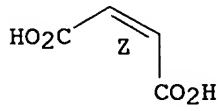
CRN 54042-57-8
CMF C25 H36 F N5 O



CM 2

CRN 110-16-7
CMF C4 H4 O4

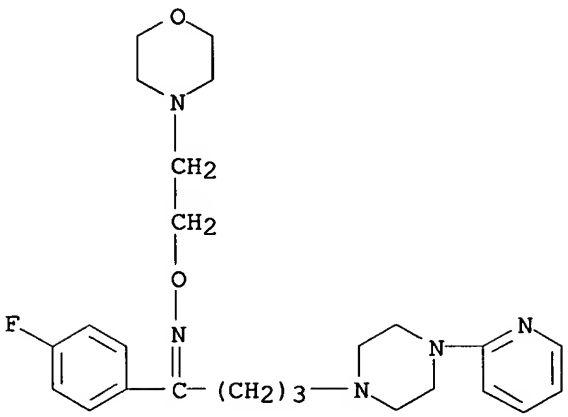
Double bond geometry as shown.



RN 54042-60-3 CAPLUS
CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(2-pyridinyl)-1-piperazinyl]-, O-[2-(4-morpholinyl)ethyl]oxime, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

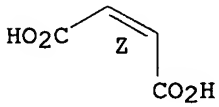
CRN 54042-59-0
CMF C25 H34 F N5 O2



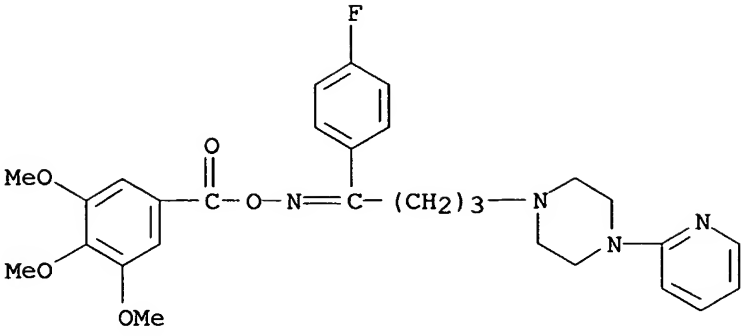
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



RN 54042-61-4 CAPLUS
CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(2-pyridinyl)-1-piperazinyl]-, O-(3,4,5-trimethoxybenzoyl)oxime, monohydrochloride (9CI) (CA INDEX NAME)

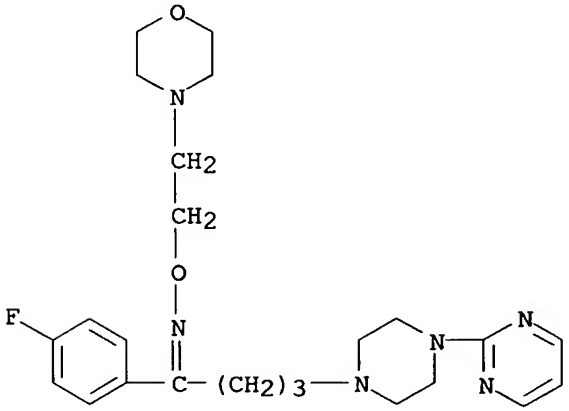


● HCl

RN 54064-24-3 CAPLUS
CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(2-pyrimidinyl)-1-piperazinyl]-, O-[2-(4-morpholinyl)ethyl]oxime, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

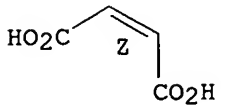
CRN 54064-23-2
CMF C24 H33 F N6 O2



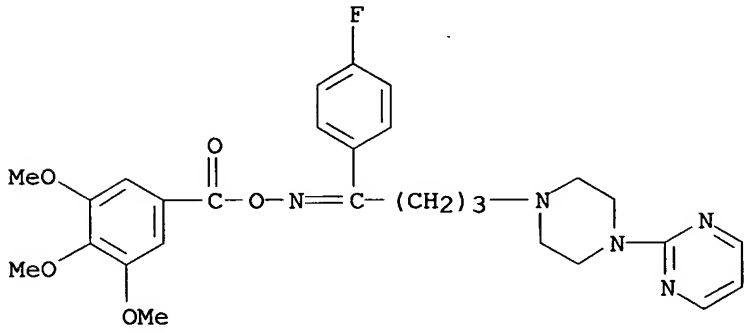
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

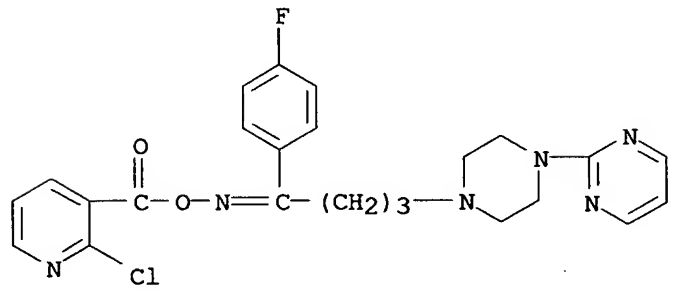


RN 54064-25-4 CAPLUS
CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(2-pyrimidinyl)-1-piperazinyl]-, O-(3,4,5-trimethoxybenzoyl)oxime, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 54064-26-5 CAPLUS
CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(2-pyrimidinyl)-1-piperazinyl]-, O-[(2-chloro-3-pyridinyl)carbonyl]oxime, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

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